

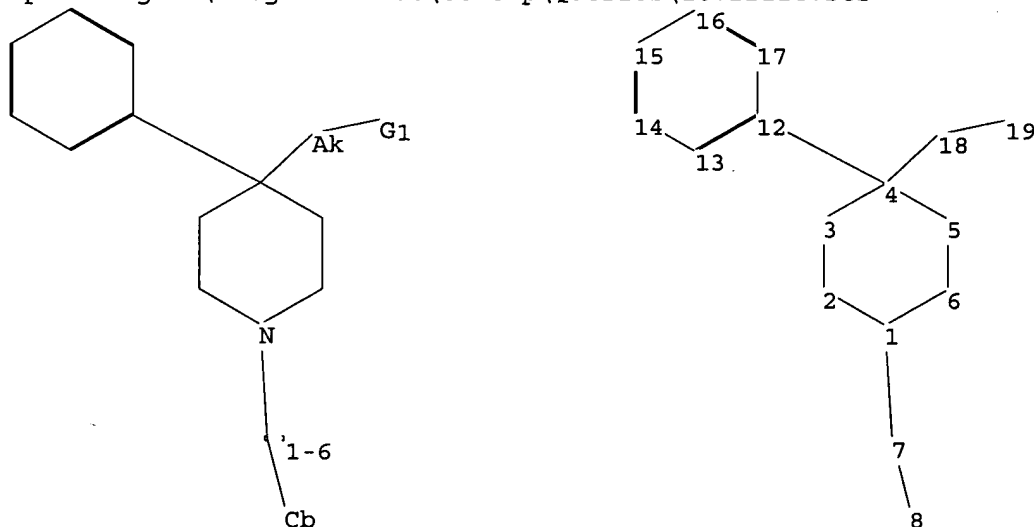
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10722114.str



chain nodes :

7 8 18 19

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17

chain bonds :

1-7 4-12 4-18 7-8 18-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-18 5-6 18-19

exact bonds :

4-12 7-8

normalized bonds :

12-13 12-17 13-14 14-15 15-16 16-17

isolated ring systems :

containing 1 : 12 :

G1:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS

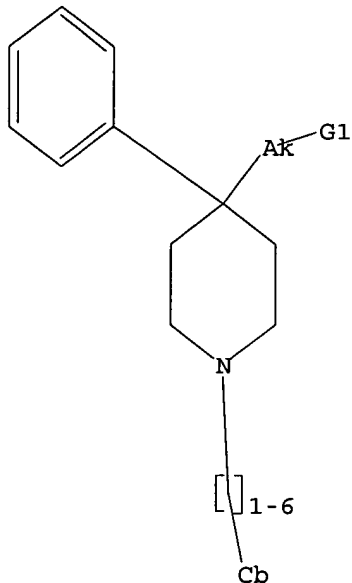
10722114

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 15:55:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 177611 TO ITERATE

100.0% PROCESSED 177611 ITERATIONS

1615 ANSWERS

SEARCH TIME: 00.00.02

L2 1615 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 15:55:53 ON 08 FEB 2006

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10722114

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FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l2
L3 685 L2

=> file registry		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.84	168.99

FILE 'REGISTRY' ENTERED AT 15:58:20 ON 08 FEB 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9
DICTIONARY FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

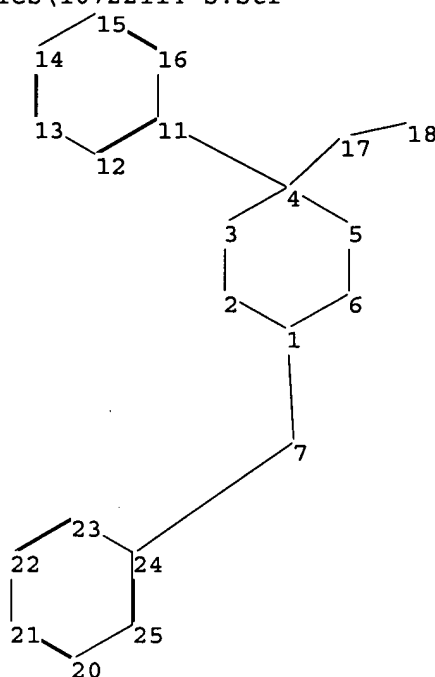
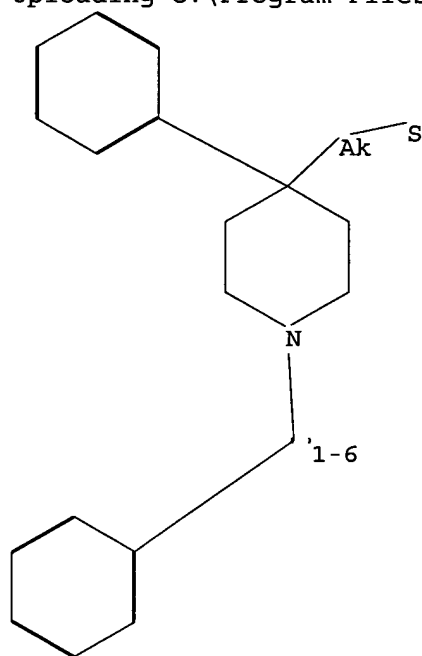
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10722114-s.str



chain nodes :

7 17 18

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 20 21 22 23 24 25

chain bonds :

1-7 4-11 4-17 7-24 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-25
21-22 22-23 23-24 24-25

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-17 5-6 17-18

exact bonds :

4-11 7-24

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-25 21-22 22-23 23-24 24-25

isolated ring systems :

containing 1 : 11 :

G1:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom
 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom
 24:Atom 25:Atom

L4 STRUCTURE UPLOADED

10722114

=> d l4
L4 HAS NO ANSWERS
L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 15:58:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5103 TO ITERATE

39.2% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 97777 TO 106343
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 ful
FULL SEARCH INITIATED 15:58:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 101466 TO ITERATE

100.0% PROCESSED 101466 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.02

L6 26 SEA SSS FUL L4

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	335.93

FILE 'CAPLUS' ENTERED AT 15:58:59 ON 08 FEB 2006
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FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7
FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

10722114

They are available for your review at:

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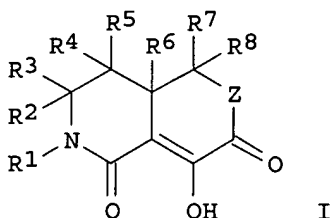
=> s 16

L7 5 L6

=> d abs fbib hitstr 1-5

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

GI



AB Title compds. I [Z = O, (un)substituted-N; R1 = substituted alkyl; R2-R5 = H, alkyl, haloalkyl, etc.; R6 = H, alkyl, haloalkyl, etc.; R7, R8 = H, alkyl, haloalkyl, acyl, carboxy, etc.] are prepared For instance, 2-(4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,4a,5,6-hexahydro-2,6-naphthyridine-1,7-dione is prepared in 7 steps from 4-fluorobenzyl bromide, 2-piperidinone, Me phenylsulfinate, nitromethane and Et oxalyl chloride. Most example compds. exhibit IC50 values of 1 μ M or less for HIV integrase. I are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. I can be employed in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

AN 2005:1026845 CAPLUS

DN 143:326342

TI Preparation of substituted naphthyridines as HIV integrase inhibitors

IN Morrisette, Matthew M.; Williams, Peter D.; Wai, John S.; Fisher, Thorsten E.; Lyle, Terry A.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005086700	A2	20050922	WO 2005-US7106	20050304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 2004-551440P

P 20040309

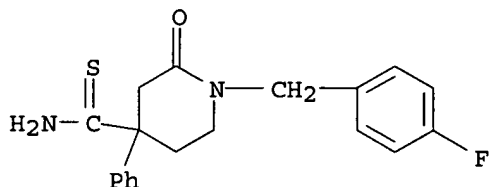
OS MARPAT 143:326342

IT 865088-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of substituted naphthyridines as HIV integrase inhibitors)

RN 865088-52-4 CAPLUS

CN 4-Piperidinecarbothioamide, 1-[(4-fluorophenyl)methyl]-2-oxo-4-phenyl-
(9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = C1-8 alkyl, alkylencycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; X = C1-8 alkyl, alkylencycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; R1 = H, C1-8 alkyl, alkylencycloalkyl, alkylenearyl, alkyleneheteroaryl; Q = amino-tetrahydronaphthyl, amino-benzocycloheptyl, methylamino-tetrahydronaphthyl, aminoindanyl, amino-benzothiopyranyl, amino-1,4-dihydro-1,4-methanonaphthyl, etc.; n = 0, 1, 2], stereoisomers, and pharmaceutically acceptable salts are prepared as agonists of the human melanocortin receptors and, in particular, as selective agonists of the human melanocortin-4 receptor (MC-4R). Title compds. I are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Pharmaceutical composition including title compds. I and second active ingredient are claimed. Thus, the title compound II was prepared from 4-F-D-Phe-4-cyclohexyl-piperidine-4-carboxylic acid Et ester HCl salt and cis-1,2,3,4-tetrahydro-1-tert-butoxycarbonyl-naphthalene-2-carboxylic acid, which was prepared from 1,2-dihydroaphthalene, ClSO2NCO.

AN 2002:157581 CAPLUS

DN 136:216648

TI Preparation of substituted piperidines as melanocortin receptor agonists

IN Bakshi, Raman K.; Barakat, Khaled J.; Lai, Yingjie; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 128 pp.

CODEN: PIXXD2

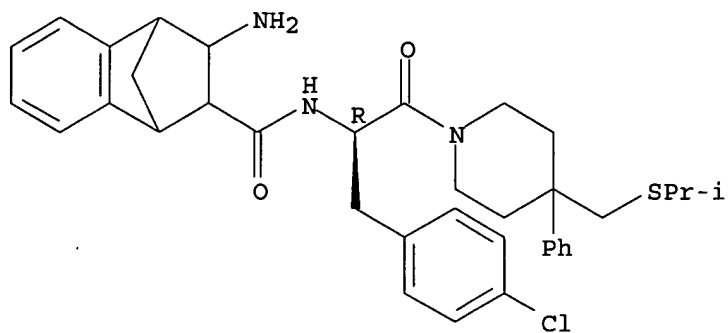
DT Patent

LA English

FAN.CNT 1

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PI	WO 2002015909	A1	20020228	WO 2001-US25757	20010817
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2419310	AA	20020228	US 2000-227180P	P 20000823
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				US 2000-227180P	P 20000823
				WO 2001-US25757	W 20010817
	AU 2001088285	A5	20020304	AU 2001-88285	20010817
				US 2000-227180P	P 20000823
				WO 2001-US25757	W 20010817
	EP 1320366	A1	20030625	EP 2001-968006	20010817
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-227180P	P 20000823
				WO 2001-US25757	W 20010817
	JP 2004506687	T2	20040304	JP 2002-520830	20010817
				US 2000-227180P	P 20000823
				WO 2001-US25757	W 20010817
	US 2003236262	A1	20031225	US 2003-343040	20030127
	US 6767915	B2	20040727		
				US 2000-227180P	P 20000823
				WO 2001-US25757	W 20010817
OS	MARPAT 136:216648				
IT	401915-36-4P 401915-38-6P 401915-41-1P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of substituted piperidines as melanocortin receptor agonists)				
RN	401915-36-4 CAPLUS				
CN	1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)				

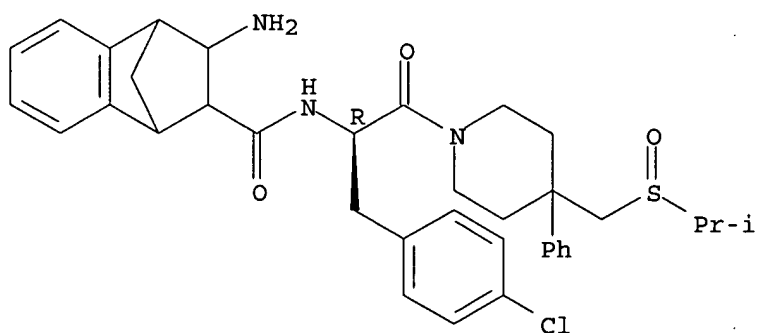
Absolute stereochemistry.



RN 401915-38-6 CAPLUS

CN 1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidiny]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

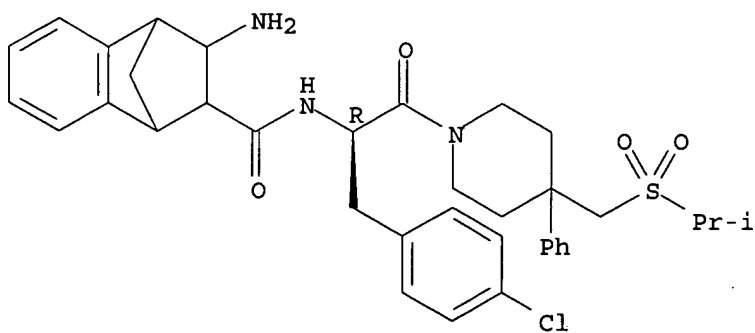
Absolute stereochemistry.



RN 401915-41-1 CAPLUS

CN 1,4-Methanonaphthalene-2-carboxamide, 3-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidiny]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -O(CH2)n-aryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with

N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

AN 2000:880962 CAPLUS

DN 134:42445

TI Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists

IN Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.

PA Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.

SO PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DT Patent

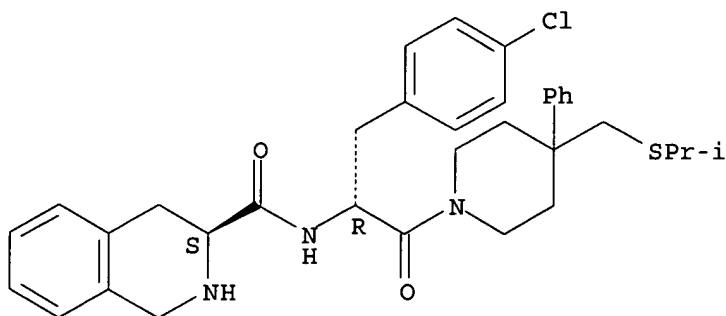
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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				US 1999-169209P	P 19991202
	CA 2377369	AA	20001214	CA 2000-2377369	20000531

			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
EP 1187614	A1	20020320	EP 2000-937961		20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
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			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
JP 2003505435	T2	20030212	JP 2001-512328		20000531
			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
AU 766191	B2	20031009	AU 2000-53068		20000531
			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
US 6350760	B1	20020226	US 2000-585111		20000601
			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
US 2002137664	A1	20020926	US 2001-990499		20011121
			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			US 2000-585111	A3	20000601
AU 2003248456	A1	20031106	AU 2003-248456		20030929
			US 1999-137477P	P	19990604
			US 1999-169209P	P	19991202
			WO 2000-US14930	W	20000531
OS	MARPAT 134:42445				
IT	312637-61-9P 312637-63-1P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)				
RN	312637-61-9 CAPLUS				
CN	3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)				
CM	1				
CRN	312637-60-8				
CMF	C34 H40 Cl N3 O2 S				

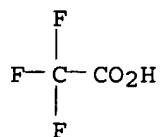
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 312637-63-1 CAPLUS

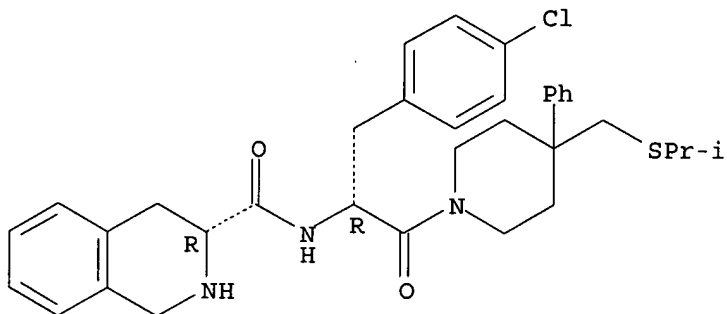
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(1-methylethyl)thio]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 312637-62-0

CMF C34 H40 Cl N3 O2 S

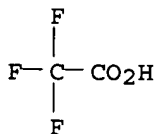
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 312638-54-3P 312638-56-5P 312638-59-8P
 312638-60-1P 312638-61-2P 312638-62-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312638-54-3 CAPLUS

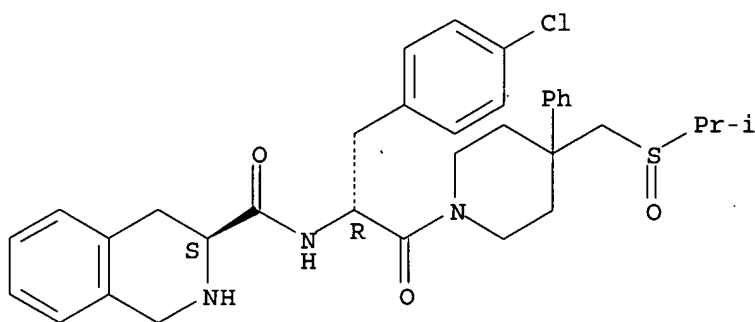
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 312638-53-2

CMF C34 H40 Cl N3 O3 S

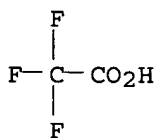
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 312638-56-5 CAPLUS

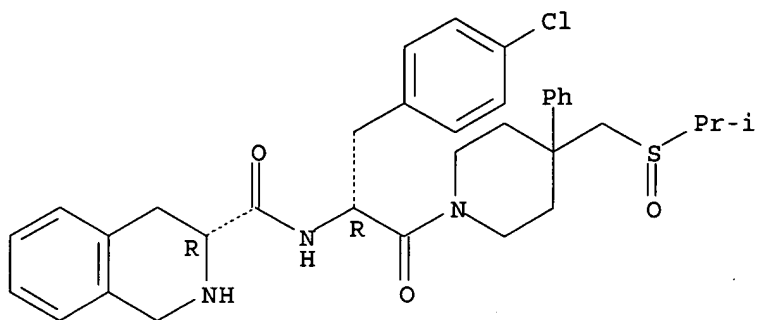
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfinyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 312638-55-4

CMF C34 H40 Cl N3 O3 S

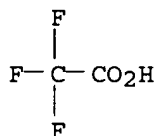
Absolute stereochemistry.



CM 2

CRN 76-05-1

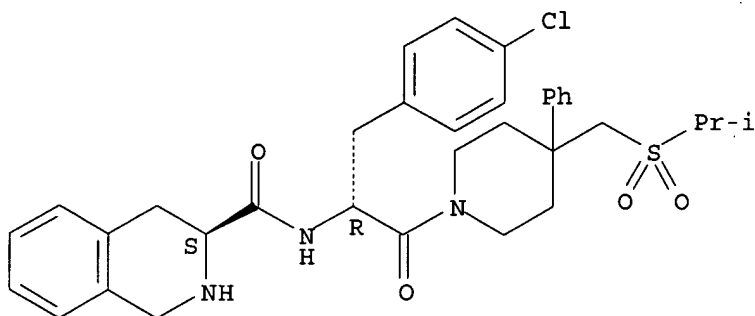
CMF C2 H F3 O2



RN 312638-59-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312638-60-1 CAPLUS

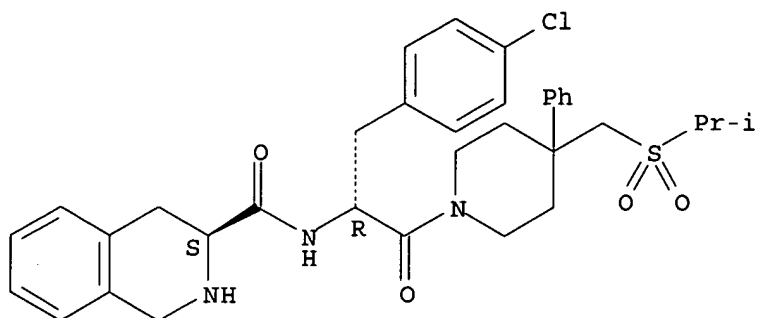
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 312638-59-8

CMF C34 H40 Cl N3 O4 S

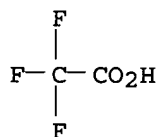
Absolute stereochemistry.



CM 2

CRN 76-05-1

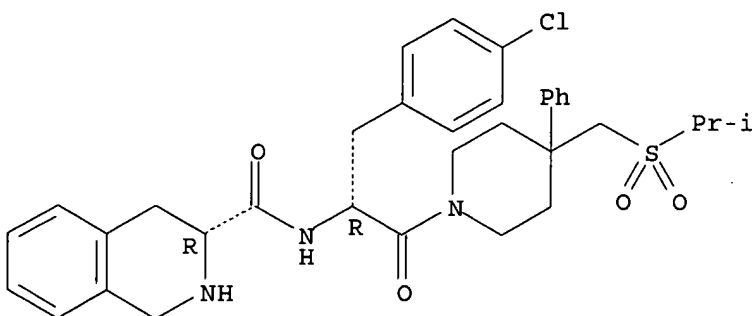
CMF C2 H F3 O2



RN 312638-61-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



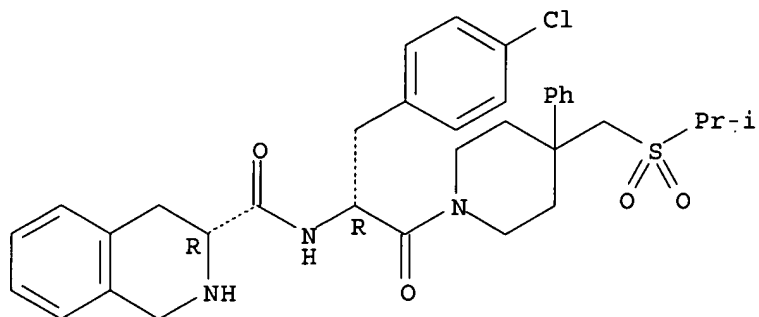
RN 312638-62-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(1-methylethyl)sulfonyl]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

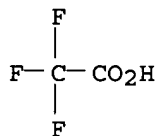
CRN 312638-61-2
CMF C34 H40 Cl N3 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT 312639-25-1P

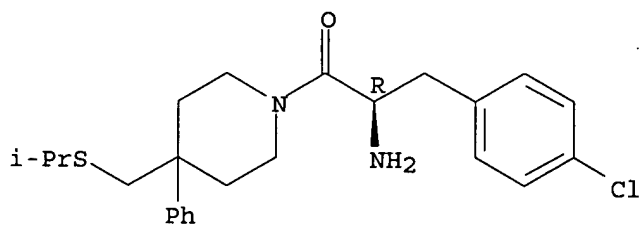
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312639-25-1 CAPLUS

CN Piperidine, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-4-[[1-(methylethyl)thio]methyl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



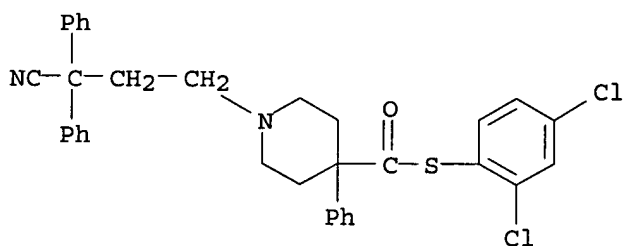
● HCl

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Seventeen title derivs. I.HCl (R = heteroaryloxy, substituted phenoxy, amino, hydrazino, alkoxy, and alkylthio) diarrhea inhibitors which also counteract the withdrawal symptoms associated with chronic psychotropic drug intoxication (no data), were prepared from the title acid I (R = OH). I possess analgesic, antiprotozoal, antibacterial, antifungal, and anthelmintic activity (no data).
AN 1974:505305 CAPLUS
DN 81:105305
TI 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid derivatives
IN Briggs, Frederick B.
PA G.D. Searle and Co.
SO Brit., 11 pp. Division of Brit. 1,356,117.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

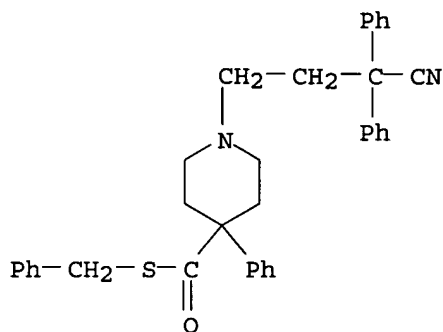
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PI	GB 1356118	A	19740612	GB 1971-57390	19701216
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IT 37983-42-9P 37983-43-0P 53405-30-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37983-42-9 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(2,4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

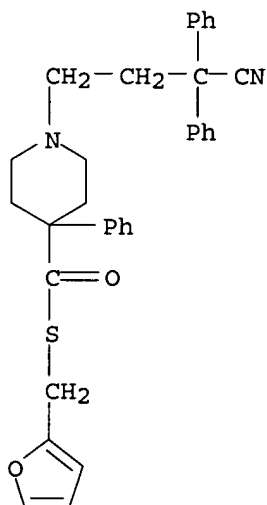
RN 37983-43-0 CAPLUS
CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(phenylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 53405-30-4 CAPLUS

CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-(2-furanylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Eighteen title compds. [I, e.g. R = 2-pyridyloxy, 2-pyridylmethoxy, 2,4,5-Cl₃C₆H₂O (II), 3,4-Me(MeS)C₆H₃I, 2,4-Cl₂C₆H₃S, PhCH₂S, phthalimidomethoxy, Me₂NNH, 4-MeOC₆H₄NH and (or) their mono- or dihydrochlorides, useful as antidiarrheal drugs, were prepared by reaction of I (R = OH or Cl) with RH. Thus, 2,4,5-Cl₃C₆H₂OH and dicyclohexylcarbodiimide were added to I (R = OH) in DMF and the mixture was stirred 24 hr to give II.

AN 1972:539819 CAPLUS

DN 77:139819

TI 1-(3-Cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid derivatives

IN Kreider, Eunice M. S.
 PA G.D. Searle and Co.
 SO Ger. Offen., 35 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2161827	A	19720706	DE 1971-2161827	19711213
	GB 1356117	A	19740612	GB 1970-59686	A 19701216
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	CA 947296	A1	19740514	CA 1971-129748	A 19711209
	BE 776644	A1	19720613	GB 1970-59686	A 19701216
	BE 776645	A1	19720613	BE 1971-111627	19711213
	NL 7117061	A	19720620	GB 1970-59686	A 19701216
	NL 7117062	A	19720620	BE 1971-111628	19711213
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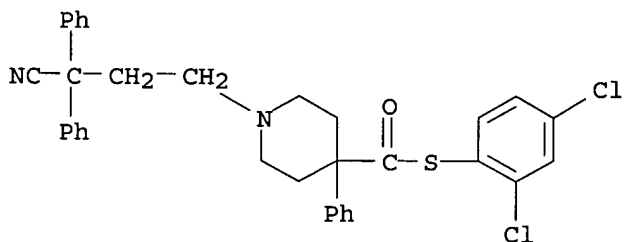
PATENT FAMILY INFORMATION:

FAN 1972:539818

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	GB 1356117	A	19740612	GB 1970-59686	19701216
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	CA 947296	A1	19740514	CA 1971-129748	19711209
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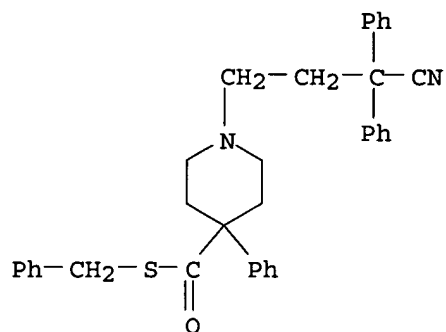
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IT 37983-42-9P 37983-43-0P 37983-44-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 37983-42-9 CAPLUS
 CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-,
 S-(2,4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



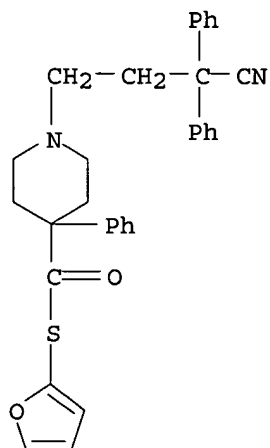
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RN 37983-43-0 CAPLUS
 CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-,
 S-(phenylmethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 37983-44-1 CAPLUS

CN 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-,
S-2-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

=> file registry

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

ENTRY

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TOTAL

SESSION

370.55

-3.75

10722114

FILE 'REGISTRY' ENTERED AT 16:02:16 ON 08 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9
DICTIONARY FILE UPDATES: 7 FEB 2006 HIGHEST RN 873775-18-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
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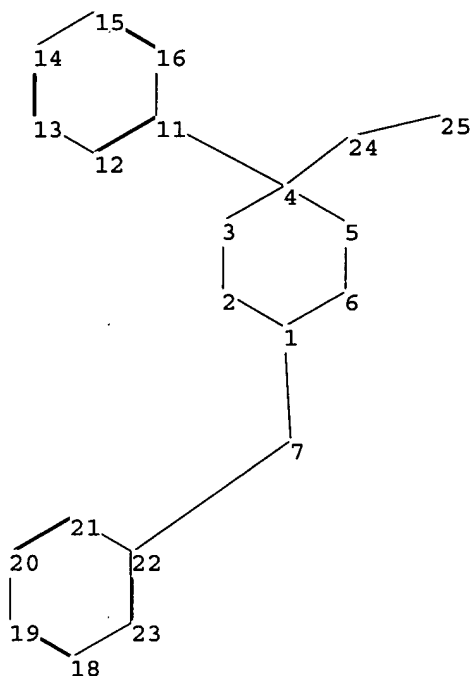
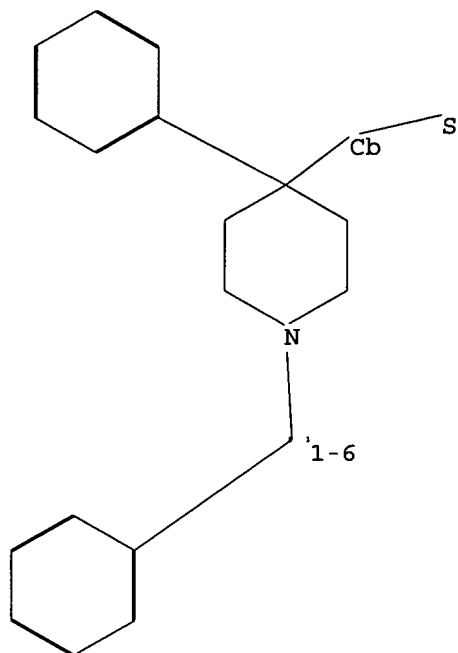
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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Uploading C:\Program Files\Stnexp\Queries\10722114-cyclo.str



chain nodes :

7 24 25

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23

chain bonds :

1-7 4-11 4-24 7-22 24-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 5-6

exact bonds :

4-11 4-24 7-22 24-25

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

isolated ring systems :

containing 1 : 11 :

G1:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:Atom 25:CLASS

L8 STRUCTURE UPLOADED

=> d 18

10722114

L8 HAS NO ANSWERS
L8 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 16:02:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5103 TO ITERATE

39.2% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 97777 TO 106343
PROJECTED ANSWERS: 0 TO 0

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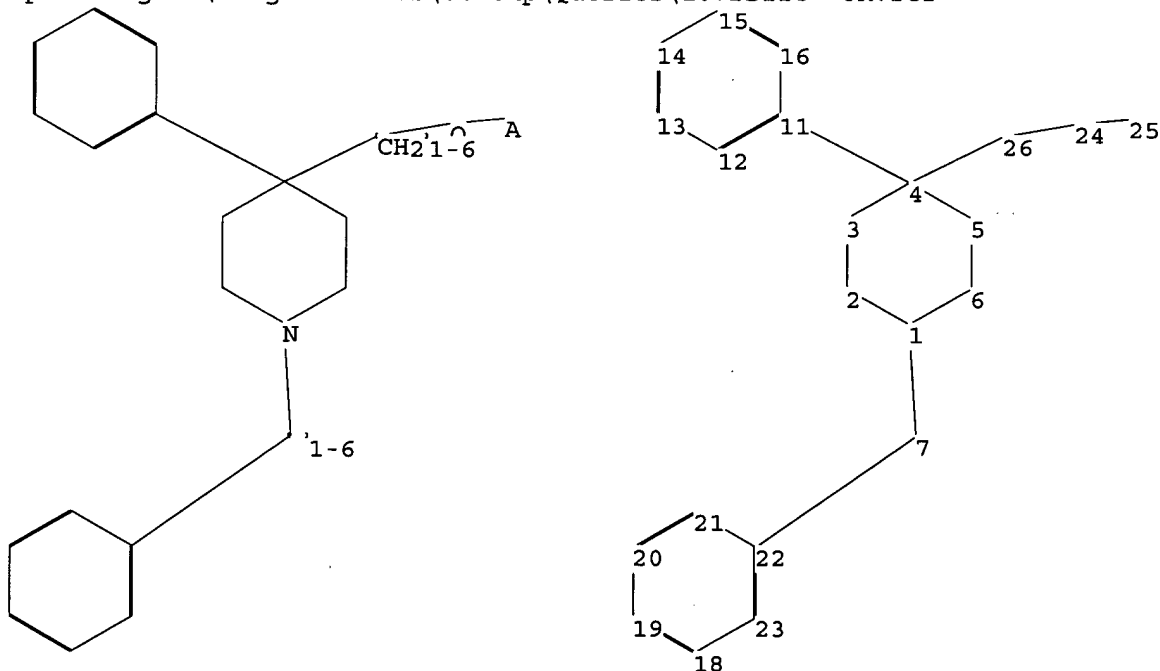
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SEARCH TIME: 00.00.01

0 ANSWERS

L10 0 SEA SSS FUL L8

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Uploading C:\Program Files\Stnexp\Queries\10722114--OR.str



chain nodes :
7 24 25 26
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23
chain bonds :
1-7 4-11 4-26 7-22 24-25 24-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 24-25
exact bonds :
4-11 4-26 7-22 24-26
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

isolated ring systems :
containing 1 : 11 :

G1:O,S

Match level :
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14:Atom 15:Atom 16:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:CLASS 25:CLASS
26:CLASS

L11 STRUCTURE UPLOADED

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L11 HAS NO ANSWERS
L11 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l11
SAMPLE SEARCH INITIATED 16:04:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3116 TO 4804
PROJECTED ANSWERS: 545 TO 1375

L12 48 SEA SSS SAM L11

=> s l11 ful
FULL SEARCH INITIATED 16:04:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4562 TO ITERATE

10722114

100.0% PROCESSED 4562 ITERATIONS
SEARCH TIME: 00.00.01

931 ANSWERS

L13 931 SEA SSS FUL L11

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

334.76

705.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-3.75

FILE 'CAPLUS' ENTERED AT 16:04:53 ON 08 FEB 2006

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FILE COVERS 1907 - 8 Feb 2006 VOL 144 ISS 7

FILE LAST UPDATED: 7 Feb 2006 (20060207/ED)

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=> s l13

L14 595 L13

=> s l14 and py<2001

20847035 PY<2001

L15 457 L14 AND PY<2001

=> d abs fbib hitstr 400-410

L15 ANSWER 400 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB In crossover expts. in dogs, morphine (0.2 mg./kg. intravenously) did not prolong significantly thiopental-induced sleep time. Meperidine (2 mg./kg.) prolonged sleep slightly. Levorphanol (0.05 mg./kg.), alphaprodine (1 mg./kg.), anileridine (1 mg./kg.), and oxymorphone (0.05 mg./kg.) prolonged sleep by 25-50%. Dipipanone (0.5 mg./kg.) and a combination of meperidine with promazine (1 mg./kg. each) prolonged sleep more than 50%.

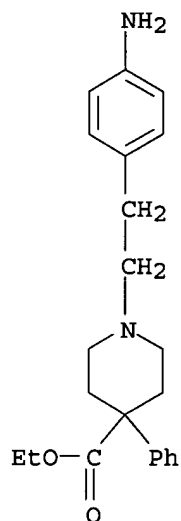
AN 1962:426160 CAPLUS

DN 57:26160

OREF 57:5257g-h

10722114

TI Prolongation of thiopental-induced sleep in dogs by narcotic analgesics
 AU Dobkin, Allen B.
 CS Upstate Med. Center, Syracuse, NY
 SO Anesthesiology (1961) 291-3
 CODEN: ANESAV; ISSN: 0003-3022
 DT Journal
 LA Unavailable
 IT 144-14-9, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester
 (thiopental anesthesia prolongation by)
 RN 144-14-9 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



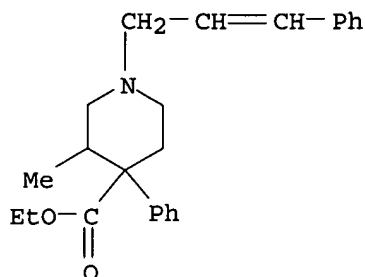
L15 ANSWER 401 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
 AB The Me, Et, and iso-Pr esters of 3β-methyl-4-phenylpiperidine-4-carboxylate were prepared (cf. preceding abstract) and treated with PhCH:CHCH₂Cl to give the title compds. (ester group and m.p. of HCl salt given): Me, 193-4°; Et, 205.5-6.0°; iso-Pr, 177.6-8.2°. The title compds. are analgesics and have barbiturate-potentiating and hypnotic activity and also have low mydriatic activity.
 AN 1962:66862 CAPLUS
 DN 56:66862
 OREF 56:12861g-h
 TI Lower-alkyl esters of 1-cinnamyl-3-methyl-4-phenylpiperidine-4-carboxylic acid
 IN Janssen, Paul A. J.
 DT Patent
 LA Unavailable

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3012030		19611205	US	19600429 <--

 PI 107157-04-0, Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester

(preparation of)

RN 107157-04-0 CAPLUS

CN Isonipeccotic acid, 1-cinnamyl-3 β -methyl-4-phenyl-, ethyl ester (7CI)
(CA INDEX NAME)

L15 ANSWER 402 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB The title compds. are highly potent analgesic agents and also have barbituratepotentiating and hypnotic activity. The designation 3 α -methyl indicates the cis arrangement of the 3-methyl and the 4-ester group on the piperidine ring while the 3 β - is the trans configuration. 2-Hydroxyethyl-2-hydroxypropylamine, Na₂CO₃, and H₂O are heated to 70°, p-MeC₆H₄SO₂Cl added, and the mixture heated at 95° for 1 hr. The cooled mixture was filtered and the residue extracted with Et₂O. Removal of the Et₂O and purification of the residue from iso-PrOH gave N-(2-hydroxyethyl)-N-(2-hydroxypropyl)-p-toluenesulfonamide (I), m. 66.2-8.2°. The OH groups of I were replaced by Cl upon reaction with SOCl₂ to yield N-(2-chloroethyl)-N-(2-chloropropyl)-p-toluenesulfonamide (II). Refluxing II with PhCH₂CN and NaNH₂ gave 1-(4-methylphenylsulfonyl)-3 α -methyl-4-phenyl-4-cyanopiperidine (III) (MeOH-soluble), m. 143.5-6°, and the 3 β -methyl derivative (IV) (MeOH-insol.), m. 217-18°. III gave 1-(4-methylphenylsulfonyl)-3 α -methyl-4-phenylpiperidine-4-carboxylic acid (V) (m. 173.4-5.8°) when refluxed with KOH in HOCH₂CH₂OH. Similar treatment of IV gave the 3 β -methyl compound (VI), m. 209.5-11.4°. VI with excess SOCl₂ gave the corresponding carboxylic acid chloride which was treated with MeOH to give Me 1-(4-methylphenylsulfonyl)-3 β -methyl-4-phenylpiperidine-4-carboxylate (VII), m. 137.4-40.3°. Similar treatment of V gave the 3 α -methyl derivative (VIII), m. 94.5-5.4°. When EtOH replaced the MeOH, the corresponding Et ester derivs. of the 3 α -methyl compound (IX), m. 127.8-8.2°, and 3 β -methyl compound (X), m. 102-4.6°, were obtained. Iso-PrOH gave the iso-Pr esters: 3 α -methyl (XI), m. 99-101.5°, and 3 β -methyl (XII), m. 112.5-3.2°. The tosyl moiety was removed from VII, IX, and XI by treatment with a mixture of PhOH and HOAc saturated with HBr to give the resp. alkyl 3 α -methyl-4-phenylpiperidine-4-carboxylates [alkyl group and b.p. (mm.) given]: Me, 122-3° (0.02); Et, - (oxalate m. 136.2-7.4°); iso-Pr, 136° (0.6). A similar treatment of VII, X, and XII gave the corresponding 3 β -methyl compds. (alkyl group, b.p. (mm.), and m.p. HCl salt given): Me (XIII), 131-3° (0.4), 191-2.2°; Et (XIV), 126° (0.2), 175.6-6.2°; iso-Pr (XV), 124-6° (0.02), -. The hydrochlorides of XIII, XIV, and XV underwent a Mannich condensation with paraformaldehyde and PhCOME to give the resp. alkyl 1-(2-benzoyl-ethyl)-3 β -methyl-4-

phenylpiperidine-4-carboxylate hydrochlorides (alkyl group and m.p. of HCl salt given): Me, 198-8.8°; Et (XVI), 179.7-82.4°; iso-Pr, 178.4-9.4°. XVI was converted to the free base and reduced with NaBH₄ to Et 1-(3-phenyl-3-hydroxypropyl)-3β-methyl-4-phenylpiperidine-4-carboxylate (HCl salt m. 196.6-7.4°).

AN 1962:66861 CAPLUS

DN 56:66861

OREF 56:12861a-g

TI Lower alkyl esters of 1-(2-benzoyl-ethyl)- and 1-(3-hydroxy-3-phenylpropyl)-3-methyl-4-phenylpiperidine-4-carboxylic acid

IN Janssen, Paul A. J.

DT Patent

LA Unavailable

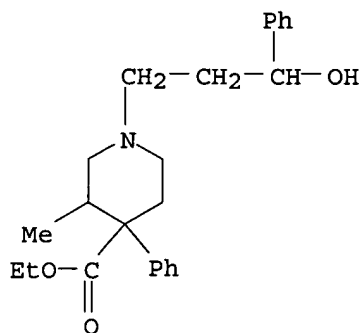
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3004977		19611017	US	19600429 <--
				US	19600429

IT **13543-77-6**, Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride **95438-91-8**, Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, methyl ester, hydrochloride **95624-80-9**, Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride **95820-10-3**, Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, isopropyl ester, hydrochloride **107157-04-0**, Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester **857363-59-8**, Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, ethyl ester, oxalate (preparation of)

RN 13543-77-6 CAPLUS

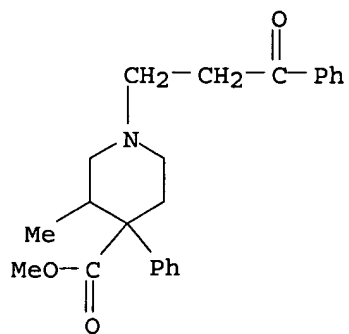
CN Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)-3-methyl-4β-phenyl-, ethyl ester, hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 95438-91-8 CAPLUS

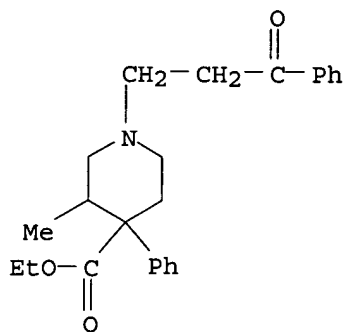
CN Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 95624-80-9 CAPLUS

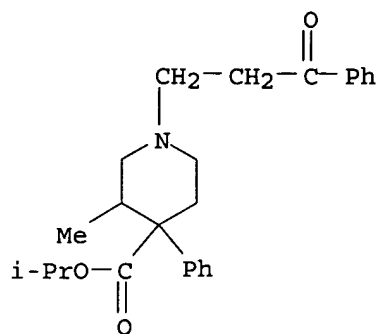
CN Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, ethyl ester, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 95820-10-3 CAPLUS

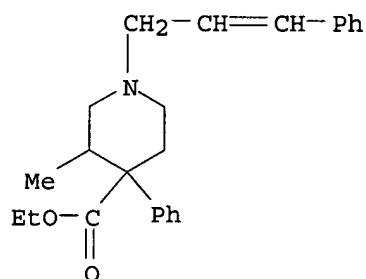
CN Isonipecotic acid, 1-(2-benzoyl-ethyl)-3-methyl-4-phenyl-, isopropyl ester, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 107157-04-0 CAPLUS

CN Isonipecotic acid, 1-cinnamyl-3β-methyl-4-phenyl-, ethyl ester (7CI)
(CA INDEX NAME)



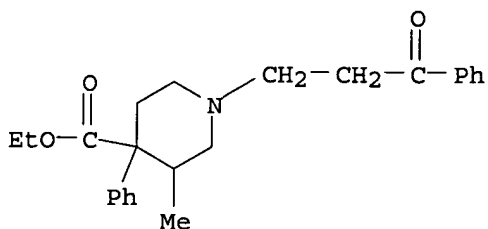
RN 857363-59-8 CAPLUS

CN Isonipecotic acid, 1-(2-benzoyl-2-phenylethyl)-3-methyl-4-phenyl-, ethyl ester,
oxalate (7CI) (CA INDEX NAME)

CM 1

CRN 805191-56-4

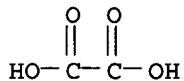
CMF C24 H29 N O3



CM 2

CRN 144-62-7

CMF C2 H2 O4



L15 ANSWER 403 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB I (Z = nicotinoyl) were prepared, where R and R' = alkyl radicals containing 1-8

C atoms. Nicotinoyl chloride-HCl (68 g), 46.4 g. 3,3-dimethylazetidine, and 500 ml. anhydrous CHCl₃ treated slowly dropwise with 200 ml. Et₃N at 0°, the mixture heated 1 hr. at 50°, poured into 600 ml. H₂O, the organic layer separated, the aqueous layer extracted with CHCl₃, and the combined

organic solns. fractionated gave 51 g. I (R = R' = Me), b_{0.6} 130-5°.

The I were powerful analeptic agents.

AN 1962:66860 CAPLUS

DN 56:66860

OREF 56:12860h-i,12861a

TI 1-Nicotinoylazetidines

IN Testa, Emilio; Maffii, Guilo

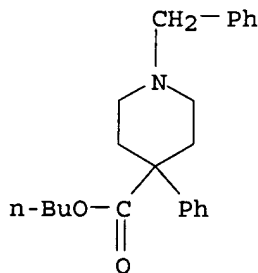
PA Lepetit S.p.A.

DT Patent

LA Unavailable

FAN.CNT 1

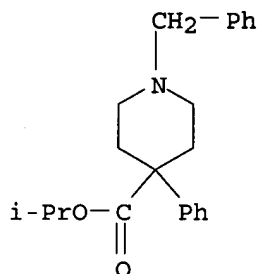
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 879883		19611011	GB	19590805 <--
				GB	19590805
IT	95437-02-8, Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester				
	95940-83-3, Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (preparation of)				
RN	95437-02-8 CAPLUS				
CN	Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester (7CI) (CA INDEX NAME)				



RN 95940-83-3 CAPLUS

CN Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (7CI) (CA INDEX

NAME)



L15 ANSWER 404 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Alkyl 1-carbamoylalkyl-4-phenylpiperidine-4-carboxylates are prepared by addition of piperidine across the double bond of an acrylamide or by N-alkylation of a piperidine with cyanoalkyl halides followed by hydrolysis of the nitrile to the amide. The compds. showed antitussive and analgesic activity. Thus, 26.9 g. Et 4-phenylpiperidine-4-carboxylate-HCl (I.HCl) was covered with Et2O and shaken with 45 cc. 10% aqueous NaOH solution. The Et2O layer and 7.1 g. acrylamide was heated on a steam bath for 1 hr. after the Et2O had evaporated. The solid residue was dissolved in 300 cc. hot iso-PrOH, filtered, acidified with HCl gas, cooled, and treated with Et2O. The crystalline product was collected and washed with Et2O to give II (R1, R2, R3 = H, R4 = Et), m. 179.8-82.7°. Similarly prepared from the appropriate acrylamide and piperidine carboxylate were II (R1, R2, R3, R4, m.p. given): tert-Bu, H, H, Et, 159.6-61.5°; n-hexyl, H, H, Et, 106.4-9.8°; 4-MeOC6H4, H, H, Et, -(ethanesulfonate m. 128.8°); 4-ClC6H6, H, H, Et, 219.8-21.2°; Et, Ph, H, Et, 150-1.2°; PhCH2, H, H, Et, -[102.2-4.2° (free base)]; cyclohexyl, H, H, Et, 191.0-2.8°; allyl, H, H, Et, 172.8-4.2°; 4-MeOC6H4C2H4, H, H, Et, 136.8-8.0°; PhCH2CH2, H, H, Et, 177.2-8.4°; PhCH2, Me, H, Et, 172.4-2.8°; Me, Me, H, Et, 181.8-3.4°; Et, H, H, Et, 188.4-90.0°; Ph, H, H, Et, 204.6-8.4°; Et, Et, H, Et, 171-3.6°; H, H, Me, Et, 201.4-2.6°; Me, H, H, Et, 153.2-60.1°; iso-Pr, iso-Pr, H, Et, 136.8-40.6°; H, H, H, Me, 210.2-10.6°; H, H, H, iso-Pr, 196-7.6°; H, H, H, Bu, 193.2-4.8°; Me, Ph, H, Et, 86-8° (free base); Me, Ph, H, Et, 164-4.8°; H, H, H, n-hexyl, -, Bu, H, H, Et, -, Et, Me, H, Et, -, 2-naphthyl, H, H, Et, -, 2-biphenyl, H, H, Et, -, 2-furyl, Me, H, Et, -, 3-pyridyl, H, H, Et, -, 2-thienyl, Pr, H, Et, -, 2-pyrimidyl, Me, H, Et, -, Ph, Ph, H, Et, -, 4-ClC6H4, Ph, H, Et, -, 3-EtOC6H4, Me, H, Et, -, 2-MeC6H4, H, H, Et, -, 2,4-ClEtOC6H5, H, H, Et, -, 3,4,5-(MeO)3C6H2, H, H, Et, -, 4-BuNHC6H4, H, H, Et, -, 4-AcNHC6H4, H, H, Et, -, 4-EtSC6H4, H, H, Et, -, 4-EtSO2C6H4, H, H, Et, -, 4-H2NC6H4, Me, H, Et, -, 2-thiazolyl, H, H, Et, -. Also prepared were II where R3 = H, R4 = Et, and NR1R2 were the following cyclic moieties: 2-methylpiperidino, m. 182.6-4.4°; pyrrolidino, m. 183.8-5.4°; morpholino, m. 217.6-18.8°; piperidino, m. 189.2-91.2°. A mixture of I (from 26.9 g. of its HCl salt) in 200 cc. EtOH, 10 cc. C5H5N, and 10.3 g. of 3-cyanopropyl chloride was refluxed 12 hrs. and evaporated. The residue was dissolved in iso-PrOH-HCl. Dilution with Et2O gave a precipitate of 10.1 g. III (n = 3) (IIIa), m. 183-6°. Similarly prepared was III (n = 4) (IIIb), m. 107.2° (softens). IIIa (10.1 g.)

was converted to the free base, dissolved in 50 cc. concentrated H₂SO₄, and kept

1 day. The mixture was diluted with ice and H₂O, basified with NaOH and extracted

with Et₂O. The extract was evaporated and the residue was dissolved in iso-PrOH-HCl, and diluted with Et₂O to give 6.5 g. IV (n = 3, R = H), m. 186.2-8.4°. Similarly prepared was IV (n = 4, R = H). IV (n = 6, R = Me) was prepared from I.HCl and 6-(N-methylcarbamoyl)hexyl chloride as in the method for II. III (n = 2) (IIIc), m. 200-2.5°, was prepared from I and acrylonitrile as in the preparation of II, which was hydrolyzed to IV (n = 2, R = H). Brit. 880,140. The preps. of IIIa, IIIb, and IIIc are described.

AN 1962:66859 CAPLUS

DN 56:66859

OREF 56:12860a-h

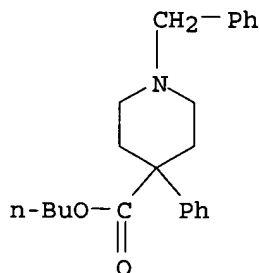
TI Substituted piperidines

PA Sterling Drug Inc.

DT Patent

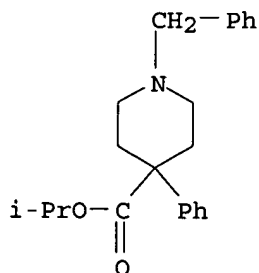
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 880139		19611018	GB	<--
				US	19580430
	US 3117128		1964	US	<--
IT	95437-02-8, Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester				
	95940-83-3, Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester				
	(preparation of)				
RN	95437-02-8 CAPLUS				
CN	Isonipecotic acid, 1-benzyl-4-phenyl-, butyl ester (7CI) (CA INDEX NAME)				

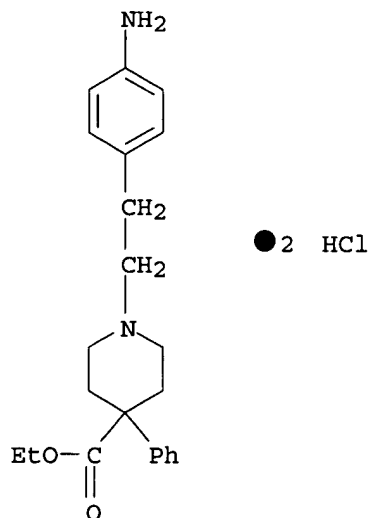


RN 95940-83-3 CAPLUS

CN Isonipecotic acid, 1-benzyl-4-phenyl-, isopropyl ester (7CI) (CA INDEX NAME)

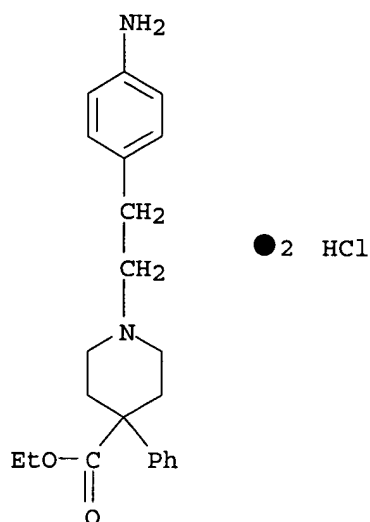


L15 ANSWER 405 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Changes in tooth pulp threshold in rabbits following oral and subcutaneous administration of codeine, morphine, levorphan, and anileridine showed approx. equal relative potencies for the first 3 while the last was approx. 1/2 that of the others.
 AN 1962:56966 CAPLUS
 DN 56:56966
 OREF 56:10866a-b
 TI Oral versus subcutaneous potency of codeine, morphine, levorphan, and anileridine as measured by rabbit tooth pulp changes
 AU Leaders, Floyd E.; Keasling, H. H.
 CS Univ. of Iowa, Iowa City
 SO Journal of Pharmaceutical Sciences (1962), 51, 46-9
 CODEN: JPMSAE; ISSN: 0022-3549
 DT Journal
 LA Unavailable
 IT 126-12-5, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester, dihydrochloride
 (analgesic activity of, route of administration in relation to)
 RN 126-12-5 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



L15 ANSWER 406 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Pyrogallol (I) (1-50 mg./kg.), intravenously in dogs, caused decreased duodenal activity in 1-2 min. (resembling the effect of 1-2 mg./kg. adrenaline) and increased the rat contractions in 2-10 min., and the tonus in 15-40 min. Effects of I were increased by tolazoline (5 mg./kg.), phentolamine (10 mg./kg.), yohimbine, and dichloroisoprenaline (3 mg./kg.); decreased by atropine (100%) and by histamine (short time); and mepyramine or hexamethonium were without effect. Large doses of neostigmine counteracted the effect of atropine.
 AN 1962:56965 CAPLUS

DN 56:56965
 OREF 56:10865h-i,10866a
 TI Effect of pyrogallol on duodenal motility
 AU Izquierdo, Ivan; Izquierdo, Juan A.
 CS Fac. Farm. Bioquim., Buenos Aires
 SO Journal of Pharmacy and Pharmacology (1961), 13, 743-6
 CODEN: JPPMAB; ISSN: 0022-3573
 DT Journal
 LA Unavailable
 IT 126-12-5, Isonipecotic acid, 1-(p-aminophenethyl)-4-phenyl-, ethyl ester, dihydrochloride
 (analgesic activity of, route of administration in relation to)
 RN 126-12-5 CAPLUS
 CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

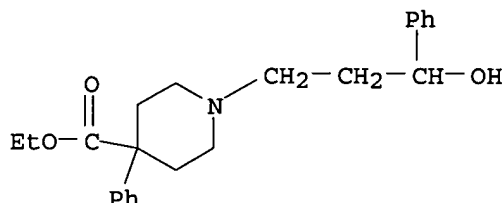


L15 ANSWER 407 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN
 AB The following drugs possess an addiction-forming or -sustaining liability similar to morphine: β -methadol, etoxeridine {Et 1-[2-(2-hydroxyethoxy)ethyl]-4-phenyl-4-piperidinecarboxylate} (Atenorax, Atenos, Carbetidine), levomoramide, (1-3-methyl-2,2-diphenyl-4-morpholinobutyrylpyrrolidine), racemoramide, trimeperidine (1,2,5-trimethyl,4-phenyl-4-propionoxypiperidine) (Promedol), and phenoperidine [Et 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-4-piperidinecarboxylate].
 AN 1961:133624 CAPLUS
 DN 55:133624
 OREF 55:25163h-i
 TI Finding of certain drugs to be opiates
 AU Anon.
 SO Federal Register (1961), 26, 7760-1, 19 Aug 1961
 CODEN: FEREC; ISSN: 0097-6326
 DT Journal
 LA Unavailable
 IT 562-26-5, Isonipecotic acid, 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-

, ethyl ester
(as narcotic)

RN 562-26-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 408 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB The title carbinol, $\text{Ph}(\text{EtO}_2\text{C})\text{C}_5\text{H}_8\text{NCH}_2\text{CH}_2\text{CH}(\text{OR})\text{Ph}$ (I, R = H) (II), was prepared for pharmacol. evaluation since it should exhibit greater stability than the corresponding ketone (III), a Mannich base with analgesic properties, and its resolution could lead to a separation of analgesic and respiratory depressant activities. III HCl salt (12.0 g.) in 48 ml. 50% alc. and 1.2 g. NaOH in 72 ml. alc. stirred 10 min. at 20° with 1.1 g. NaBH_4 , diluted with CHCl_3 , the washed and dried CHCl_3 extract distilled, and the crystalline residue, m. 89-91°, in 60 ml. Me_2CHOH treated with 6.6 ml. 6.8N HCl in Me_2CHOH gave 96% material, recrystd. from Me_2CHOH to give dl-II HCl salt, m. 198-9°. II (3.7 g.) in 40 ml. $\text{C}_5\text{H}_5\text{N}$ and 10 ml. Ac_2O heated on a steam bath briefly and kept 16 hrs. at 20°, excess Ac_2O decomposed with H_2O , the solution taken up in Et_2O , and the product on evaporation treated with 1.3 g. maleic acid in Et_2O gave 94% product, recrystd. to give I (R = Ac) H maleate salt (IV), m. 137-9°. Similarly, 3.7 g. II and 3.9 g. $(\text{EtCO})_2\text{O}$ yielded I (R = EtCO) H maleate salt (V), m. 142-3°. II (3.7 g.) in 20 ml. $\text{C}_5\text{H}_5\text{N}$ kept 3 hrs. with 3.5 ml. l-menthoxyacetyl chloride with occasional swirling, the clear cold solution (ice bath) treated with 2 ml. H_2O and diluted with Et_2O , the product from evaporation of the washed and dried Et_2O extract taken up in 15 ml. EtOAc and heated with 1.4 g. maleic acid, the filtered solution concentrated, and the residue

treated with 50 ml. dry Et_2O yielded 93% salt, m. 79-86°, $[\alpha]_D -30^\circ$, crystallized (50 g.) from 150 ml. alc. and 2.5 ml. to give 9.2 g. material, m. 137-9°, recrystd. from 18 ml. alc. and 450 ml. Et_2O to yield 33% I (R = menthoxyacetyl) l,l-hydrogen maleate (VI), m. 139-40°, $[\alpha]_D -50^\circ$ (1% MeOH). The optically pure VI (6.8 g.) in 70 ml. MeOH hydrolyzed with 2.8 g. KOH in 35 ml. 80% MeOH 15 min. at 20° and the solution diluted with 200 ml. H_2O gave the free base (3.7 g., m. 83-4°), recrystd. from dilute MeOH to yield l-II, m. 86.0-6.5°, $[\alpha]_D -21^\circ$, acidified (1.1 g.) in 5 ml. Me_2CHOH with 1 ml. 6.8N HCl in Me_2CHOH to give 0.9 g. salt, m. 187-8°, crystallized from 5 ml. Me_2CHOH to yield 67% l-II HCl salt, m. 187-8°, $[\alpha]_D -23^\circ$. l-II (1.1 g.) kept 16 hrs. at 20° in 10 ml. $\text{C}_5\text{H}_5\text{N}$ and 5 ml. Ac_2O , excess Ac_2O decomposed with 5 ml. H_2O , taken up in Et_2O and the washed and dried Et_2O layer added to 0.4 g. maleic acid in 0.8 ml. MeOH and 10 ml. Et_2O , filtered from 1.5 g. product, m. 141-2°, and crystallized from 5 ml. alc. and 75 ml. Et_2O yielded 87% l-IV, m. 142-3°, $[\alpha]_D -22^\circ$. Similarly, use of $(\text{EtCO})_2\text{O}$ gave 80% l-V, m. 143-4°, $[\alpha]_D -23^\circ$. Acylation of 35.0 g. II with 22.1 g. d-menthoxyacetyl chloride yielded,

after fractional crystallization, 49% optically pure I (R = menthoxyacetyl) d,d-hydrogen maleate (VII), m. 138.0-8.5°, $[\alpha]_D^{50}$, hydrolyzed (13.6 g.) to give 5.2 g. d-II HCl salt, m. 186-7°, $[\alpha]_D^{25}$. 1-II (1.9 g.) acetylated, the oily ester taken up in 100 ml. dry C₆H₆ and refluxed 5 hrs. with BrCN, C₆H₆ removed, the residue refluxed 5 hrs. with 0.8 g. LiAlH₄ in 100 ml. dry tetrahydrofuran, excess LiAlH₄ destroyed with EtOAc, the mixture treated with dilute HCl and Et₂O, the residue on evaporation of the Et₂O layer heated 2 hrs. on a steam bath with 1.0 ml. α -C₁₀H₇NCO, excess reagent destroyed with 90% aqueous Me₂CO, the residue on evaporation taken up in ligroine (b. 60-80°) and chromatographed over 20 g. silica gel, the column washed with 500 ml. 1:1 C₆H₆-ligroine and eluted with C₆H₆, and the fraction crystallized from ligroine gave 0.8 g. needles, m. 113-15°, recrystd. from ligroine to give 1- α -C₁₀H₇NHCO₂CHPhEt, m. 116-17°, $[\alpha]_D^{-31.5}$, also produced by conversion of 1-HOCHPhEt (VII) to the urethan. A duplicate experiment using 3.7 g. racemic base gave dl- α -C₁₀H₇NHCO₂CHPhEt, m. 102-3° (ligroine). VII had the S configuration and 1-II was S-1-(3-hydroxy-3-phenylpropyl)-4-ethoxycarbonyl-4-phenylpiperidine. The analgesic potencies in mice are listed (morphine = 1): dl-II HCl, 14; dl-IV, 8; dl-V 14; 1-II HCl, 25; 1-IV, 11; 1-V, 14; d-II, HCl, 7. An increase in analgesic activity was accompanied by an approximately corresponding increase in respiratory depression.

AN 1961:131266 CAPLUS

DN 55:131266

OREF 55:24744d-i,24745a-c

TI Resolution and configuration of 1-(3-hydroxy-3-phenylpropyl)-4-ethoxycarbonyl-4-phenylpiperidine

AU Mazur, Robert H.

CS G. D. Searle & Co., Chicago

SO Journal of Organic Chemistry (1961), 26, 962-4
CODEN: JOCEAH; ISSN: 0022-3263

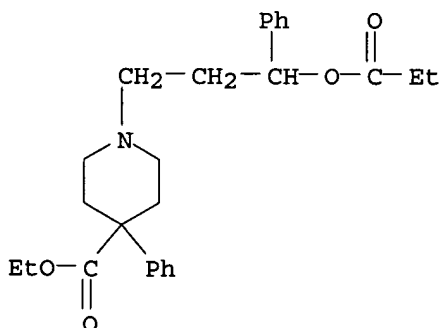
DT Journal

LA Unavailable

IT 124119-16-0, Propionic acid, ester, with Et 1-(3-hydroxy-3-phenylpropyl)-4-phenylisonipecotate
(preparation of)

RN 124119-16-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(1-oxopropoxy)-3-phenylpropyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



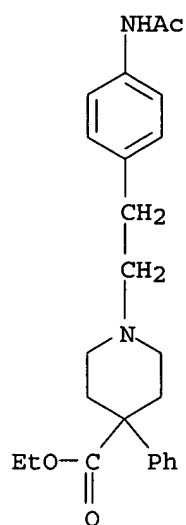
L15 ANSWER 409 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB The piperidines were prepared by the following sequence of reactions:

4-phenyl-4-carbethoxypiperidine carbonate (I) and a β -(p-aminophenyl)ethyl halide gave N-(p-aminophenethyl)-4-phenyl-4-carbethoxypiperidine (II), which was then treated with an acid to give the corresponding salt, or with an acylating agent to give the corresponding p-acylamino derivative, which can then be converted to its salt. Thus, 7.8 g. p-aminophenylethyl chloride-HCl, 12.5 g. I, 10.5 g. Na₂CO₃, and 100 cc. anhydrous EtOH was refluxed with stirring 40 hrs., then concentrated in vacuo to dryness, the residue was triturated with 50 cc. H₂O, decanted, washed by decantation with 50 cc. H₂O, and then dried in vacuo to give II.2HCl (III), m. 275-7°. II, prepared from 1 g. III, 2 cc. glacial HOAc, and 2 cc. Ac₂O heated on a steam bath 1 hr., kept overnight at room temperature, diluted with 25 cc. H₂O, excess Na₂CO₃ added portionwise, the aqueous layer decanted from the gummy precipitate, and the precipitate washed with H₂O, and dried in vacuo gave N-(p-acetamidophenethyl)-4-phenyl-4-carbethoxypiperidine; HCl salt m. 264-5°. The mono-HCl salt, m. 218-20°, of II was prepared from II and an equimolar quantity of ethanolic HCl. p-Aminophenethyl chloride-HCl was prepared as follows: 69 g. fuming HNO₃ was added slowly to 111 g. Ac₂O and 66 g. HOAc at 0°, the mixture cooled to -5°, and 101 g. phenethyl bromide added over 2 hrs. with stirring between -10° and 0°, the mixture stirred 2-3 hrs. below 0°, poured into a suspension of 145 g. Na₂CO₃ in 1100 cc. ice H₂O, the product extracted with C₆H₆, the extract washed with excess Na₂CO₃ solution, then with H₂O, dried over MgSO₄, the solvent evaporated in vacuo, and the residue crystallized from petr. ether to give about 55 g. p-nitrophenethyl bromide (IV), m. 65-7°. Then, 43 g. IV was added to 172 g. SnCl₂, in 430 cc. concentrated aqueous HCl over 45 min., the mixture then warmed 45 min., the aqueous solution decanted, cooled, 750 cc. of 30% aqueous NaOH solution added, and the resulting solution extracted with Et₂O, the extract washed with H₂O and 3.5N aqueous HCl to give at 0° about 30 g. of a mixture of p-aminophenethyl bromide-HCl and p-aminophenethyl chloride-HCl. These compds. were analgesics and compared favorably with meperidine.

AN 1961:81799 CAPLUS
 DN 55:81799
 OREF 55:15515d-h
 TI N-[β -(p-Acylaminophenyl)ethyl]-4-phenyl-4-carbethoxypiperidines
 IN Weijlard, John; Pfister, Karl, III
 PA Merck & Co., Inc.
 DT Patent
 LA Unavailable
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2969368		19610124	US	<--
IT	57604-00-9		Isonipecotic acid, 1-(p-acetamidophenethyl)-4-phenyl-, ethyl ester (and its salts)		
RN	57604-00-9	CAPLUS			
CN	4-Piperidinecarboxylic acid, 1-[2-[4-(acetylamino)phenyl]ethyl]-4-phenyl-, ethyl ester (9CI)	(CA INDEX NAME)			

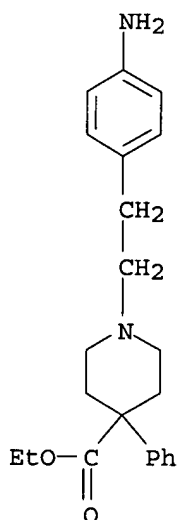


IT 144-14-9, Anileridine

(and its N-acyl derivs.)

RN 144-14-9 CAPLUS

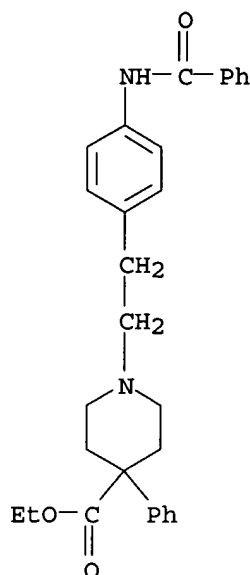
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



IT 103209-74-1, Isonipecotic acid, 1-(p-benzamidophenethyl)-4-phenyl-, ethyl ester
(preparation of)

RN 103209-74-1 CAPLUS

CN Isonipecotic acid, 1-(p-benzamidophenethyl)-4-phenyl-, ethyl ester (6CI)
(CA INDEX NAME)



L15 ANSWER 410 OF 457 CAPLUS COPYRIGHT 2006 ACS on STN

AB Several compds. of the type $\text{CH}_2\text{CH}_2\text{NRCH}_2\text{CH}_2\text{CPhCO}_2\text{Et}$ (I), wherein R = p-XC₆H₄(CH₂)_n (Ia) or p-XC₆H₄CH:CHCH₂ (Ib), were prepared and their analgesic properties compared with that of morphine. Thus, 42 g. NH(CH₂CH₂OH)₂ in 200 ml. 2N Na₂CO₃ was gradually treated at 65-70° with 70 g. PhSO₂Cl, the mixture cooled 1 hr., acidified, and extracted with AcOEt to yield, after evaporation of the solvent, 72 g. PhSO₂N(CH₂CH₂OH)₂ (II), m. 72° (AcOEt-petr. ether); attempted vacuum distillation resulted in dehydration to N-benzenesulfonylmorpholine, b₅ 184-6°, m. 117-18°. II (5.6 g.) heated 1 hr. at 130° with 5.6 ml. SOCl₂ gave 5.6 g. PhSO₂N(CH₂CH₂Cl)₂ (III), m. 42.5°. NaNH₂ (from 2.76 g. Na and 150 ml. liquid NH₃) treated dropwise with 7.03 g. PhCH₂CN in 30 ml. PhMe and then at 30-2° with 16.9 g. III in 90 ml. PhMe and the mixture kept 12 hrs., then refluxed 1 hr., cooled, hydrolyzed, and filtered yielded 7 g. PhSO₂N.CH₂.CH₂.CPh(CN).CH₂.CH₂ (IV), m. 163-4°. IV (5.82 g.) refluxed with 4.5 ml. H₂SO₄ and 2.5 ml. H₂O till complete dissolution, treated portionwise with 24 ml. H₂O, and purified with active C yielded 4.1 g. PhSO₂N.CH₂.CH₂.CPh(CO₂H).CH₂.CH₂ (V), m. 227-8° (H₂O). V (3.3 g.) was refluxed 3 hrs. with 10 ml. EtOH and 2 ml. H₂SO₄ and the mixture treated with 35 ml. H₂O and made strongly alkaline with 40% NaOH; distillation of an Et₂O extract yielded 1.56 g. Et

4-phenylisonipecotate (VI), b_{3.5} 155-6°, hydrochloride m. 132-3°; VI was converted to the carbonate (VII) (1.72 g.) by saturating the Et₂O-solution with CO₂. A suspension of 12.84 g. VII in 150 ml. EtOH was refluxed 7 hrs. with 11.6 g. p-O₂NC₆H₄(CH₂)₂Br in 150 ml. EtOH, and 6.34 g. NaHCO₃, filtered, and concentrated to yield 12.45 g. Ia (n = 2, X = NO₂) (VIII), m. 108-9° (EtOH). Ib (X = H) (IX), m. 210-11°, and Ib (X = NO₂) (X), m. 127-8°, were prepared analogously in 38 and 71.6% yields, resp. VIII (3.55 g.) in 200 ml. EtOH hydrogenated 45 min. in the presence of skeletal-Ni catalyst and a few drops of aqueous H₂PtCl₆ gave, after filtration, concentration, and acidification with alc. HCl, 3.17 g. Ia.2HCl (n = 2, X = NH₂), m. 245-7° (XI). Similar hydrogenation yielded from IX 66% Ia.2HCl (n = 3, X = NH₂) (XII), m. 221-3°, and

from X 50% Ia (n = 3, X = H) (XIII), m. 161-3° (AcOEt). When the catalyst was Ni alone, IX was hydrogenated to 70.8% Ib (X = NH₂) (XIV), m. 182-4° (Me₂CO-H₂O 1:9). p-O₂NC₆H₄CH:CHCH₂Cl, m. 58-60° (iso-PrOH), used in preparing IX, was obtained when 5.8 g. p-nitrocinnamyl alc. in 40 ml. CCl₄ was treated at 10-15° with 1.5 g. PCl₃ in 10 ml. CCl₄, refluxed 3 hrs., washed with NaOH, and concentrated; the yield was

4.4

g. Analgesic activity of XI and XII was equal to that of morphine, of XIII and XIV doubled, and of X 7-fold greater.

AN 1961:70662 CAPLUS

DN 55:70662

OREF 55:13421d-i,13422a

TI N-(Arylalkyl)-4-phenylisonipecotic acid esters

AU Smirnova, N. V.; Arendaruk, A. P.; Smolin, D. D.; Skoldinov, A. P.

SO Meditsinskaya Promyshlennost SSSR (1958), 12(No. 7), 31-5

CODEN: MPSSA9; ISSN: 0369-1586

DT Journal

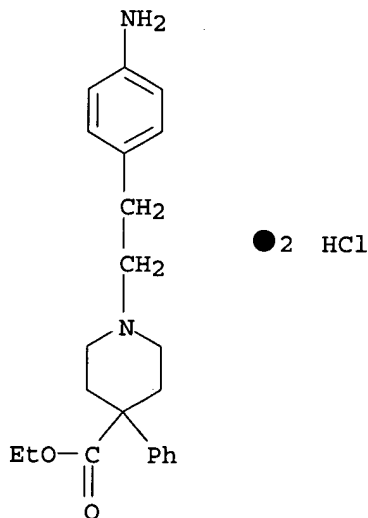
LA Unavailable

IT 126-12-5, Anileridine, dihydrochloride 102558-22-5, Isonipecotic acid, 1-cinnamyl-4-phenyl-, ethyl ester, hydrochloride 102655-00-5, Isonipecotic acid, 1-p-nitrocinnamyl-4-phenyl-, ethyl ester 102762-47-0, Isonipecotic acid, 4-phenyl-1-(3-phenylpropyl)-, ethyl ester, hydrochloride 113751-64-7, Isonipecotic acid, 1-(p-aminocinnamyl)-4-phenyl-, ethyl ester, dihydrochloride 113862-29-6, Isonipecotic acid, 1-[3-(p-aminophenyl)propyl]-4-phenyl-, ethyl ester, dihydrochloride 114160-43-9, Isonipecotic acid, 1-(p-nitrophenethyl)-4-phenyl-, ethyl ester

(preparation of)

RN 126-12-5 CAPLUS

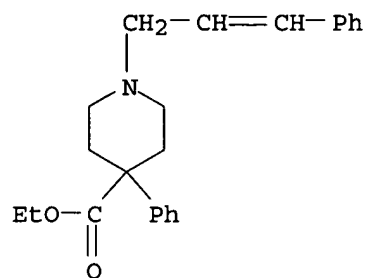
CN 4-Piperidinecarboxylic acid, 1-[2-(4-aminophenyl)ethyl]-4-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



RN 102558-22-5 CAPLUS

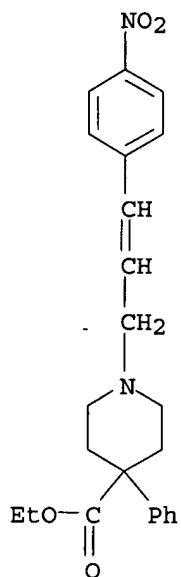
CN Isonipecotic acid, 1-cinnamyl-4-phenyl-, ethyl ester, hydrochloride (6CI)
(CA INDEX NAME)

10722114

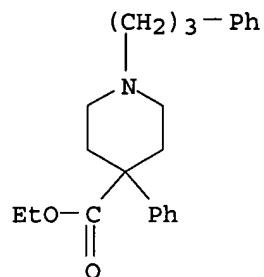


● HCl

RN 102655-00-5 CAPLUS
 CN Isonipecotic acid, 1-p-nitrocinnamyl-4-phenyl-, ethyl ester (6CI) (CA INDEX NAME)



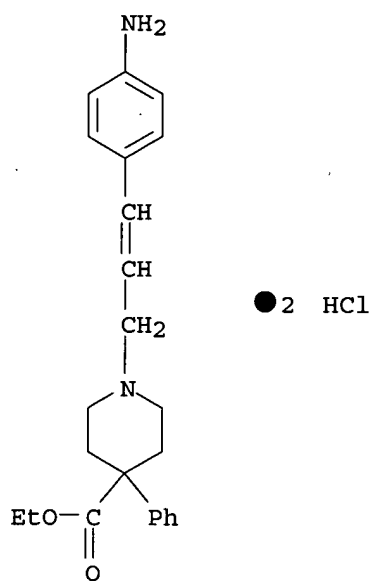
RN 102762-47-0 CAPLUS
 CN Isonipecotic acid, 4-phenyl-1-(3-phenylpropyl)-, ethyl ester, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 113751-64-7 CAPLUS

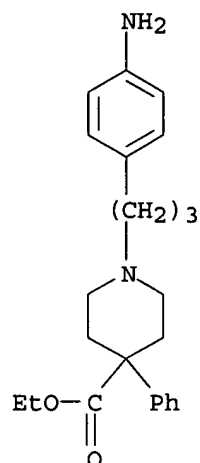
CN Isonipecotic acid, 1-(p-aminocinnamyl)-4-phenyl-, ethyl ester, dihydrochloride (6CI) (CA INDEX NAME)



● 2 HCl

RN 113862-29-6 CAPLUS

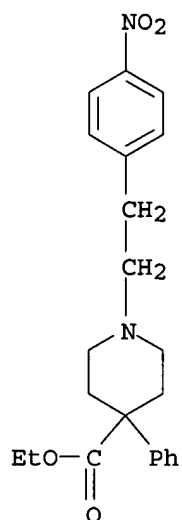
CN Isonipecotic acid, 1-[3-(p-aminophenyl)propyl]-4-phenyl-, ethyl ester, dihydrochloride (6CI) (CA INDEX NAME)



● 2 HCl

RN 114160-43-9 CAPLUS

CN Isonipecotic acid, 1-(p-nitrophenethyl)-4-phenyl-, ethyl ester (6CI) (CA INDEX NAME)



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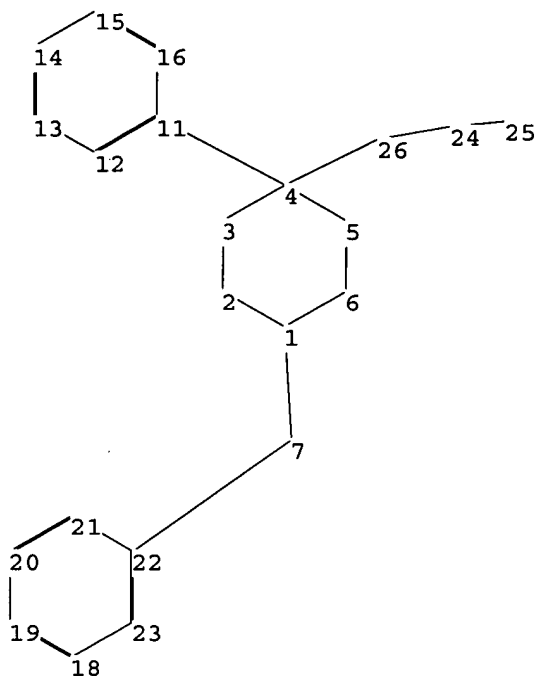
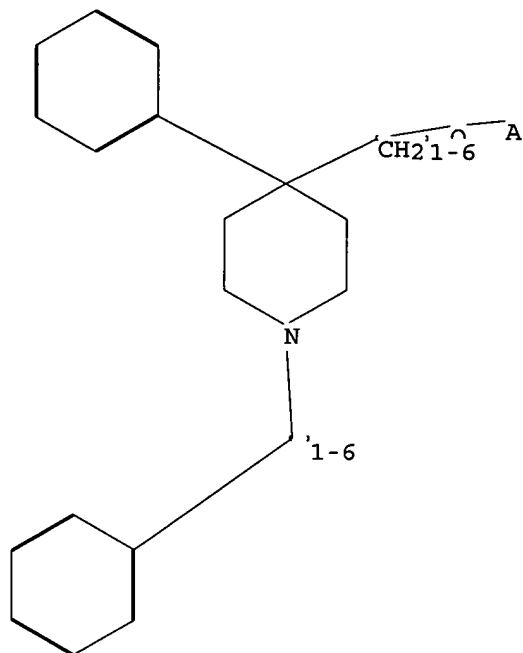
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7 24 25 26

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 18 19 20 21 22 23

chain bonds :

1-7 4-11 4-26 7-22 24-25 24-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23
19-20 20-21 21-22 22-23

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 5-6 24-25

exact bonds :

4-11 4-26 7-22 24-26

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16 18-19 18-23 19-20 20-21 21-22 22-23

isolated ring systems :

containing 1 : 11 :

G1:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 11:Atom 12:Atom 13:Atom

14:Atom 15:Atom 16:Atom 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom

24:CLASS 25:CLASS

26:CLASS

L16 STRUCTURE UPLOADED

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10722114

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L16 STR

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2884 TO 4516
PROJECTED ANSWERS: 4 TO 200

L17 4 SEA SSS SAM L16

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L19 21 L18

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L20 18 L19 AND PY<2001

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L20 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Anti-pruritic compns. for the prevention or treatment of vaginal pruritus comprise an opiate in a pharmaceutically acceptable carrier. The compns. further comprise a therapeutic agent selected from antibacterial, antiseptic, antibiotic, antiinflammatory, antiparasitic, antiprotozoal, antiviral, and antifungal agent. A pharmaceutically acceptable carrier is a gel, cream, lotion, solution or suspension. For example, 1-(3,3,3-triphenylpropyl)-4-hydroxy-4-p-chlorobenzylpiperidine (1.0, 2.5, and 5.0 mg/kg s.c.) was tested in a mouse scratch model under blind conditions showing a mean inhibition of scratching of 35, 68, and 94%, resp.

AN 2002:163847 CAPLUS

DN 136:205445

TI Peripherally acting anti-pruritic opiates

IN Farrar, John J.; Cowan, Alan

PA Adolor Corp., USA

SO U.S., 16 pp., Cont.-in-part of U. S. 5,849,762.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6353004	B1	20020305	US 1998-168724	19981009
				US 1997-892194	A2 19970714
	US 5849762	A	19981215	US 1997-892194	19970714 <--
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				US 1998-168724	A 19981009
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			US 1998-168724	A	19981009
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PATENT FAMILY INFORMATION:

FAN 1998:816107

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5849762	A	19981215	US 1997-892194	19970714
CA 2288833	AA	19990128	CA 1998-2288833	19980619
			US 1997-892194	A 19970714
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WO 9903472	A1	19990128	WO 1998-US12831	19980619
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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			US 1997-892194	A 19970714
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			WO 1998-US12831	W 19980619

FAN 2000:260010

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PI WO 2000021530	A1	20000420	WO 1999-US17439	19990802
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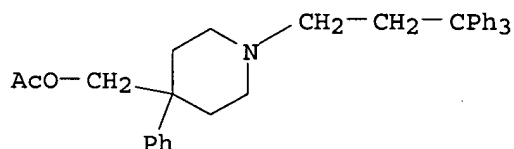
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			WO 1999-US17439	W 19990802
EP 1119354	A1	20010801	EP 1999-937727	19990802
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			WO 1999-US17439	W 19990802
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			WO 1999-US17439	W 19990802
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OS MARPAT 136:205445

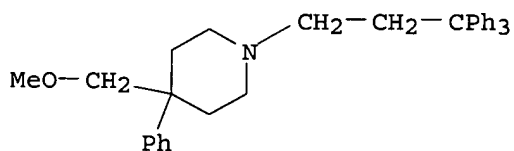
IT 189024-73-5 189024-74-6 189024-80-4
189024-83-7 189024-84-8RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(opiate-containing comps. for prevention or treatment of vaginal pruritus)

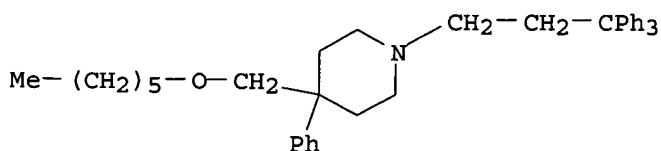
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester)
(9CI) (CA INDEX NAME)

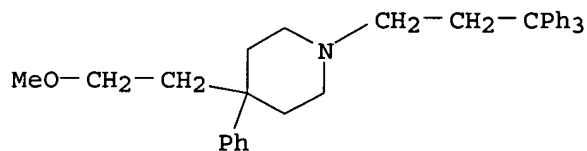
RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-80-4 CAPLUS

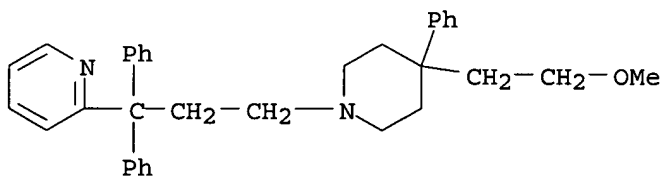
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Anti-pruritic compns. for the prevention or treatment of pruritus comprise e.g., morpholines, piperidines, oxadiazoles, phenylamidinoureas, and 1-azabicyclo[2.2.2]octanes. Thus, rectal suppositories contained loperamide 80, propylene glycol 95, and PEG-4000 1800 g. Loperamide at 2.5 mg/kg antagonized Compound 48/80-induced scratching in a dose-dependent manner, as demonstrated in mice.

AN 2000:260010 CAPLUS

DN 132:298831

TI Peripherally acting anti-pruritic opiates

IN Farrar, John J.; Cowan, Alan

PA Adolor Corporation, USA

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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WO 2000021530	A1	20000420	WO 1999-US17439	19990802 <--
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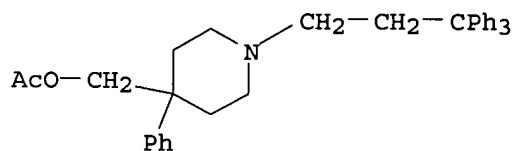
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PATENT FAMILY INFORMATION:

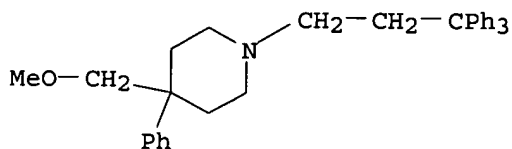
FAN 1998:816107

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				WO 1998-US12831	W 19980619
	WO 9903472	A1	19990128	WO 1998-US12831	19980619
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AU 9878395	A1	19990210	AU 1998-78395		19980619
AU 725444	B2	20001012			
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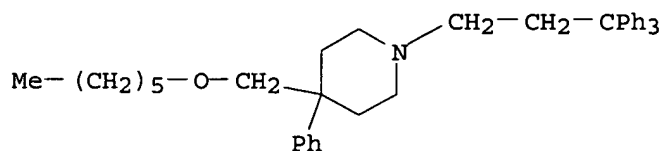
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				WO 1999-US17439	W 19990802
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IT	189024-73-5 189024-74-6 189024-80-4				
	189024-83-7 189024-84-8				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(peripherally acting anti-pruritic opiates)				
RN	189024-73-5 CAPLUS				
CN	4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester)				
	(9CI) (CA INDEX NAME)				



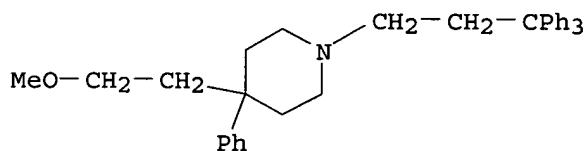
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 CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
 (CA INDEX NAME)



RN 189024-80-4 CAPLUS

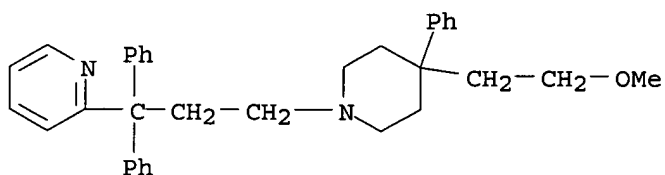
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(CA INDEX NAME)

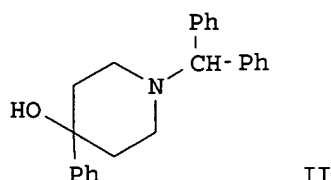
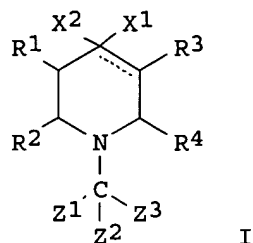
RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMATL20 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Compds. of formula I [wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl or heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl, or aryl; or X1 = (un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1, R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 1 to 3 carbon atoms; Z1 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H or Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] or pharmaceutically acceptable salt or solvate thereof useful as nociceptin receptor inhibitors for the treatment of pain, anxiety, cough, asthma, depression, and alc. abuse are disclosed. Compound II showed the Ki value of 13 nM in an in vitro test for ORL-1 receptor binding assay. Formulations are given.

AN 2000:98519 CAPLUS

DN 132:137290

TI Preparation of piperidine derivatives as high affinity ligands for nociceptin receptor ORL-1

IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; McLeod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.

PA Schering Corporation, USA

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

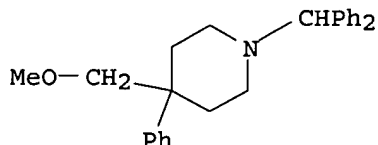
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PI	WO 2000006545	A1	20000210	WO 1999-US14165	19990726 <--

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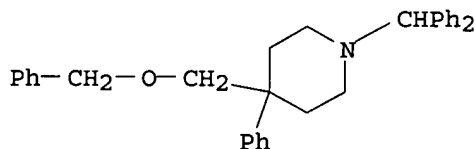
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CA 2338206	C	20051220	CA 1999-2338206		19990726 <--
			US 1998-122878	A	19980727
			WO 1999-US14165	W	19990726
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			US 1998-122878	A	19980727
JP 2002521472	T2	20020716	JP 2000-562351		19990726
			US 1998-122878	A	19980727
			WO 1999-US14165	W	19990726
TW 502021	B	20020911	TW 1999-88112624		19990726
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EP 1258244	A1	20021120	EP 2002-18161		19990726
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			US 1998-122878	A	19980727
			WO 1999-US14165	W	19990726
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			US 1998-122878	A	19980727
			WO 1999-US14165	W	19990726
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			US 1998-122878	A	19980727
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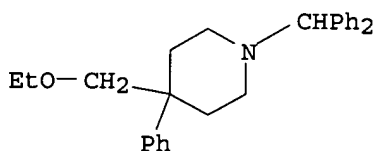
OS MARPAT 132:137290
 IT 256938-06-4P 256938-21-3P 256938-22-4P
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 (preparation of piperidine derivs. as high affinity ligands for nociceptin receptor ORL-1)
 RN 256938-06-4 CAPLUS
 CN Piperidine, 1-(diphenylmethyl)-4-(methoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 256938-21-3 CAPLUS
 CN Piperidine, 1-(diphenylmethyl)-4-phenyl-4-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)



RN 256938-22-4 CAPLUS
 CN Piperidine, 1-(diphenylmethyl)-4-(ethoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
 AB Disclosed are topical film-forming compns. for the prevention and treatment of pruritus containing (1) an opiate that is substantially devoid of central nervous system effects, (2) a film-forming polymeric material, and (3) an aqueous pharmaceutically acceptable carrier. An emulsion contained loperamide·HCl 30, ethanol 20, Na Et cellulose sulfate 25, Ca lactate 10, and water q.s. to 100 %.
 AN 1999:212693 CAPLUS
 DN 130:257341
 TI Film-forming compositions of antihyperalgesic opiates and method of

treating hyperalgesic and pruritic conditions therewith
 IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre Jim
 PA Adolor Corporation, USA
 SO U.S., 13 pp., Cont.-in-part of U.S. 5,667,773.
 CODEN: USXXAM

DT Patent
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5888494	A	19990330	US 1997-891924	19970714 <--
				US 1996-614027	A2 19960312
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	AU 9880749	A1	19990210	AU 1998-80749	19980619 <--
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PATENT FAMILY INFORMATION:

FAN 1997:616927

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5667773	A	19970916	US 1996-614027	19960312
	CA 2223514	AA	19970918	CA 1997-2223514	19970226
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	AU 715912	B2	20000210		
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				WO 1997-US3315	W 19970226

EP 888141	A1	19990107	EP 1997-907990	19970226
EP 888141	B1	20040526		
R: DE, FR, GB				
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			WO 1997-US3315	W 19970226
US 5888494	A	19990330	US 1997-891924	19970714
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FAN 1999:77462

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9903455 A1 19990128 WO 1998-US12834 19980619

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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			WO 1998-US12834	W 19980619
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			WO 1998-US12834	W 19980619
EP 1003489	A1	20000531	EP 1998-929109	19980619
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OS MARPAT 130:257341

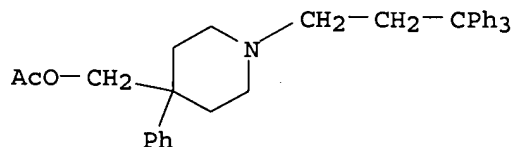
IT 189024-73-5 189024-74-6 189024-80-4
189024-83-7 189024-84-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

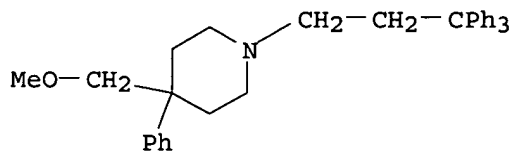
(topical compns. containing antihyperalgesic opiates and film-forming polymers for treatment of hyperalgesic and pruritic conditions)

RN 189024-73-5 CAPLUS

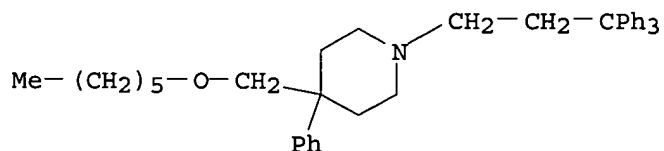
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



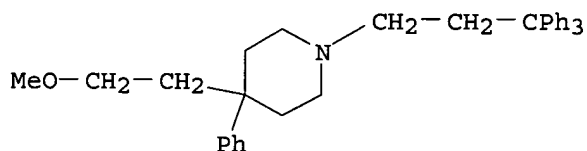
RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl) - (9CI)
(CA INDEX NAME)

RN 189024-80-4 CAPLUS

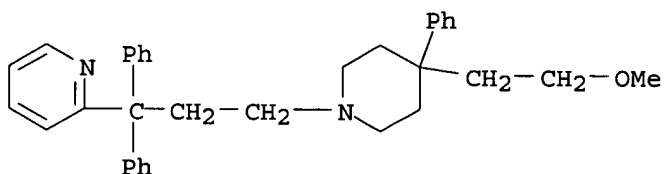
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl) - (9CI)
(CA INDEX NAME)

RN 189024-83-7 CAPLUS

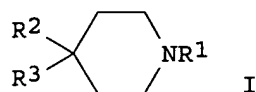
CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl) - (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl] - (9CI) (CA INDEX NAME)

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMATL20 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI

10722114



AB Title compds. [I; R1 = (substituted) alkyl; R2 = H, OH, alkyl, alkoxy, Ph, NMeCONHMe, NHCO2Me, Ac; R3 = aryl, aralkyl, aralkoxyalkyl, (substituted) aralkoxycarbonylamino, etc.], were prepared for treatment of AIDS (no data). Thus, N-(2-phenyl-4-oxobut-1-yl)-N-methylbenzenesulfonamide (preparation given) was stirred 20 min. with 4-phenylpiperidine, HOAc, and 3Å mol. sieves in THF; Na triacetoxyborohydride was added and the mixture was kept 16 h to give N-[2-phenyl-4-(4-phenylpiperidin-1-yl)but-1-yl]-N-methylbenzenesulfonamide hydrochloride.

AN 1999:96124 CAPLUS

DN 130:168242

TI Preparation of 1-(4-sulfonamidobutyl)piperidines and related compounds as modulators of chemokine receptor activity.

IN Caldwell, Charles G.; Finke, Paul E.; Maccoss, Malcolm; Meurer, Laura C.; Mills, Sander G.; Oates, Bryan

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 281 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9904794	A1	19990204	WO 1998-US14990	19980721 <--
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				GB 1998-958	A 19980116
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				GB 1998-958	A 19980116
				WO 1998-US14990	W 19980721
	AU 9885760	A1	19990216	AU 1998-85760	19980721 <--
				US 1997-53754P	P 19970725
				GB 1998-958	A 19980116
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	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE, FI			
				US 1997-53754P	P 19970725
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WO 1998-US14990

W 19980721

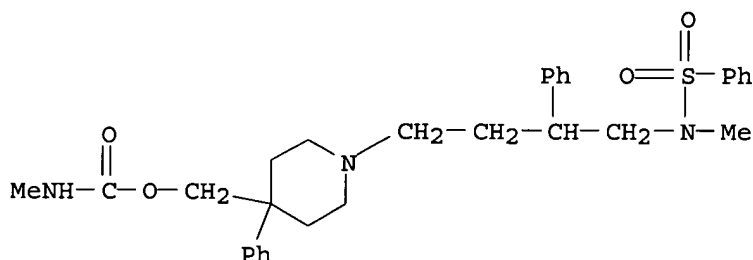
OS MARPAT 130:168242

IT 220392-83-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-(4-sulfonamidobutyl)piperidines and related compds. as modulators of chemokine receptor activity)

RN 220392-83-6 CAPLUS

CN Benzenesulfonamide, N-methyl-N-[4-[4-[[[(methylamino)carbonyl]oxy)methyl]-4-phenyl-1-piperidinyl]-2-phenylbutyl]- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Disclosed are topical film-forming compns. for the prevention and treatment of pruritus containing an opiate that is substantially devoid of central nervous system effects. A topical preparation contained loperamide·HCl 25, Na carrageenan 25, Ca lactate 32, and water to 100 %.

AN 1999:77462 CAPLUS

DN 130:158399

TI Film-forming compositions of antihyperalgesic opiates and method of treating hyperalgesic and pruritic conditions therewith

IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre

PA Adolor Corporation, USA

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903455	A1	19990128	WO 1998-US12834	19980619 <--
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 2288204	AA	19990128	CA 1998-2288204	19980619 <--

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AU 9880749	A1	19990210	AU 1998-80749		19980619 <--
AU 728538	B2	20010111			
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EP 1003489	A1	20000531	EP 1998-929109		19980619 <--
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PATENT FAMILY INFORMATION:

FAN 1997:616927

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	CA 2223514	AA	19970918	CA 1997-2223514	19970226
	CA 2223514	C	20041026		
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				WO 1997-US3315	W 19970226
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FAN 1999:212693

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				WO 1998-US12834	W 19980619
	WO 9903455	A1	19990128	WO 1998-US12834	19980619
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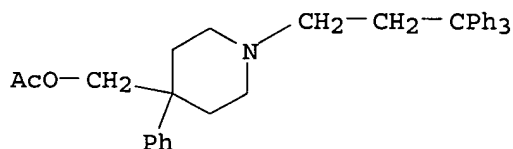
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AU 728538	B2	20010111			
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EP 1003489	A1	20000531	EP 1998-929109		19980619
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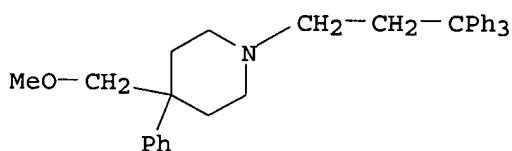
OS MARPAT 130:158399

IT 189024-73-5 189024-74-6 189024-80-4
189024-83-7 189024-84-8RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. containing opiates and film-forming polymers for treatment
of pruritic and hyperalgesic conditions)

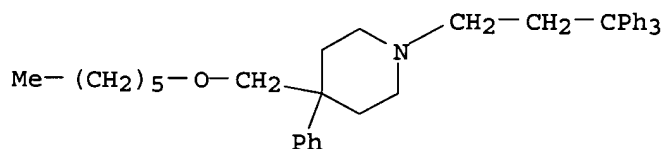
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CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester)
(9CI) (CA INDEX NAME)

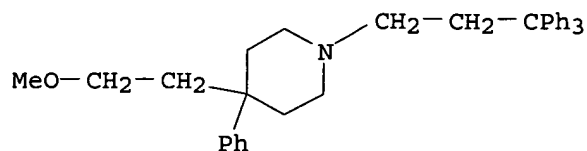
RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

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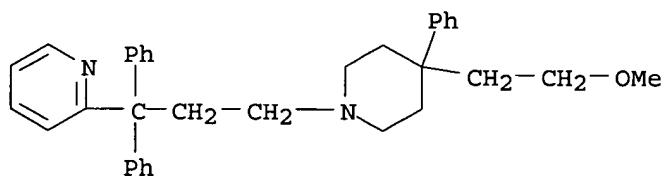
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Anti-pruritic compns. and methods of using the compns. for the prevention or treatment of pruritus comprising opiates in a pharmaceutically acceptable carrier. The mean anti-pruritic activity of 1-[3,3-diphenyl-3-(2-pyridyl)propyl]-4-phenyl-4-piperidinecarboxylic acid hydrochloride at 10.0 mg/kg s.c. in rats was 83%. Formulation of different pharmaceutical dosage forms are also disclosed.

AN 1998:816107 CAPLUS

DN 130:47476

TI Peripherally acting anti-pruritic opiates

IN Farrar, John J.; Cowan, Alan

PA Adolor Corporation, USA

SO U.S., 15 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5849762	A	19981215	US 1997-892194	19970714 <--
	CA 2288833	AA	19990128	CA 1998-2288833	19980619 <--
				US 1997-892194	A 19970714
				WO 1998-US12831	W 19980619
WO	9903472	A1	19990128	WO 1998-US12831	19980619 <--
W:	AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, ML, MR, NE, SN, TD, TG

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AU 9878395	A1	19990210	AU 1998-78395		19980619	<--
AU 725444	B2	20001012				
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EP 1019051	A1	20000719	EP 1998-926595		19980619	<--
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PATENT FAMILY INFORMATION:

FAN 2000:260010

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021530	A1	20000420	WO 1999-US17439	19990802
	W: AL, AU, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1998-168724	A 19981009
US 6353004	B1	20020305	US 1998-168724		19981009
			US 1997-892194	A2	19970714
CA 2346464	AA	20000420	CA 1999-2346464		19990802
			US 1998-168724	A	19981009
			WO 1999-US17439	W	19990802
AU 9952500	A1	20000501	AU 1999-52500		19990802
			US 1998-168724	A	19981009
			WO 1999-US17439	W	19990802
EP 1119354	A1	20010801	EP 1999-937727		19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO					
			US 1998-168724	A	19981009
			WO 1999-US17439	W	19990802
BR 9914380	A	20010807	BR 1999-14380		19990802
			US 1998-168724	A	19981009
			WO 1999-US17439	W	19990802
JP 2002527392	T2	20020827	JP 2000-575506		19990802
			US 1998-168724	A	19981009
			WO 1999-US17439	W	19990802

FAN 2002:163847
PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 6353004 B1 20020305 US 1998-168724 19981009
US 1997-892194 A2 19970714
US 5849762 A 19981215 US 1997-892194 19970714
CA 2346464 AA 20000420 CA 1999-2346464 19990802
US 1998-168724 A 19981009
WO 1999-US17439 W 19990802
WO 2000021530 A1 20000420 WO 1999-US17439 19990802
W: AL, AU, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID,
IL, IN, IS, JP, KR, LC, LK, LR, LV, MG, MK, MN, MX, NO, NZ, PL,
RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE

AU 9952500 A1 20000501 US 1998-168724 A 19981009
AU 1999-52500 19990802
US 1998-168724 A 19981009
WO 1999-US17439 W 19990802

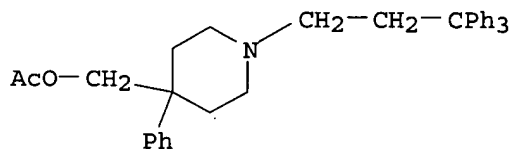
EP 1119354 A1 20010801 EP 1999-937727 19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LV, FI, RO

BR 9914380 A 20010807 US 1998-168724 A 19981009
WO 1999-US17439 W 19990802
BR 1999-14380 19990802
US 1998-168724 A 19981009

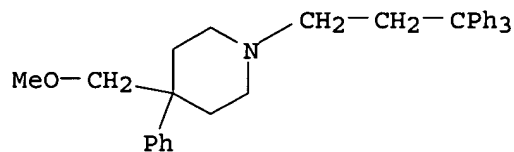
JP 2002527392 T2 20020827 WO 1999-US17439 W 19990802
JP 2000-575506 19990802
US 1998-168724 A 19981009
WO 1999-US17439 W 19990802

OS MARPAT 130:47476
IT 189024-73-5 189024-74-6 189024-80-4
189024-83-7 189024-84-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peripherally acting anti-pruritic opiates)

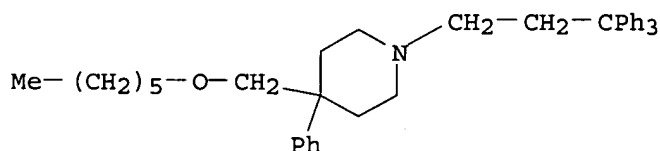
RN 189024-73-5 CAPLUS
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



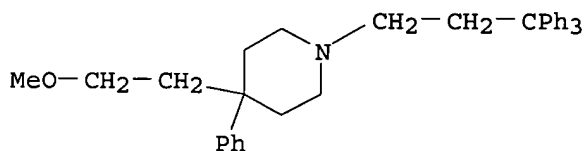
RN 189024-74-6 CAPLUS
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)



RN 189024-80-4 CAPLUS

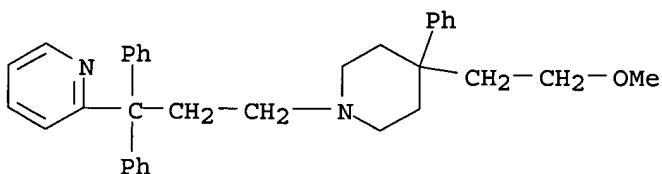
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Previously reported studies from these labs. described the design of a novel series of high-affinity NK1 antagonists based on the 4,4-disubstituted piperidine ring system. Further structure-activity studies have now established that for high NK1 affinity the benzyl ether side chain must be 3,5-disubstituted and highly lipophilic, the optimal side chain being the 3,5-bis(trifluoromethyl)benzyl ether, 12 (hNK1 IC50 = 0.95 nM). Addnl. studies have shown that this class of NK1 antagonist

tolerates a wider range of substituents on the piperidine nitrogen, including acyl (hNK1 IC50 = 5.3 nM) and sulfonyl (hNK1 IC50 = 5.7 nM) derivs. Following preliminary pharmacokinetic anal., two compds. were selected for in vivo study in the resiniferotoxin-induced vascular leakage model, both showing excellent profiles (ID50 = 0.22 and 0.28 mg/kg, resp.).

AN 1998:642712 CAPLUS

DN 130:32676

TI 4,4-Disubstituted Piperidine High-Affinity NK1 Antagonists:

Structure-Activity Relationships and in Vivo Activity

AU Stevenson, Graeme I.; Huscroft, Ian; MacLeod, Angus M.; Swain, Christopher J.; Cascieri, Margaret A.; Chicchi, Gary G.; Graham, Michael I.; Harrison, Timothy; Kelleher, Fintan J.; Kurtz, Marc; Ladduwahetty, Tamara; Merchant, Kevin J.; Metzger, Joseph M.; MacIntyre, D. E.; Sadowski, Sharon; Sohal, Balbinder; Owens, Andrew P.

CS Department of Medicinal Chemistry Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK

SO Journal of Medicinal Chemistry (1998), 41(23), 4623-4635

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 160377-06-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relationships and in vivo activity of 4,4-disubstituted piperidine high-affinity antagonists)

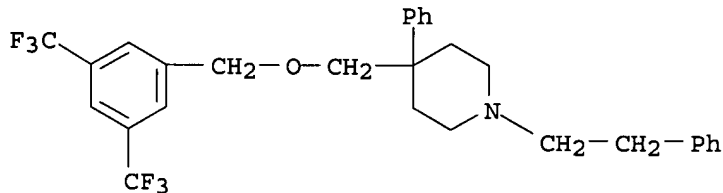
RN 160377-06-0 CAPLUS

CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 160376-11-4

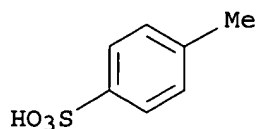
CMF C29 H29 F6 N O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Topical anti-hyperalgesic film-forming compns. and methods of using compns. for the treatment of peripheral hyperalgesia comprise (a) antihyperalgesic opiates; (b) a film-forming polymeric material; and (c) an aqueous pharmaceutically acceptable carrier. A pharmaceutical composition contained loperamide.HCl 25.0, sodium carrageenan 25.0, calcium lactate 32.0, and water q.s. 100.0%.

AN 1997:616927 CAPLUS

DN 127:283391

TI Pharmaceutical compositions containing film-forming antihyperalgesic opiates for treatment of hyperalgesic conditions

IN Farrar, John J.; Maycock, Alan L.; Kumar, Virendra; Balogh, Imre

PA Adolor Corp., USA

SO U.S., 11 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5667773	A	19970916	US 1996-614027	19960312 <--
	CA 2223514	AA	19970918	CA 1997-2223514	19970226 <--
	CA 2223514	C	20041026		
WO	9733634	A1	19970918	US 1996-614027	A 19960312
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN			WO 1997-US3315	19970226 <--
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			US 1996-614027	A 19960312
AU	9719847	A1	19971001	AU 1997-19847	19970226 <--
AU	715912	B2	20000210		
				US 1996-614027	A 19960312
				WO 1997-US3315	W 19970226
EP	888141	A1	19990107	EP 1997-907990	19970226 <--
EP	888141	B1	20040526		
	R: DE, FR, GB				
				US 1996-614027	A 19960312
				WO 1997-US3315	W 19970226
US	5888494	A	19990330	US 1997-891924	19970714 <--
				US 1996-614027	A2 19960312

PATENT FAMILY INFORMATION:

FAN 1999:77462

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9903455	A1	19990128	WO 1998-US12834	19980619
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL,				

	IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5888494	A	19990330	US 1997-891924	A	19970714
			US 1997-891924		19970714
CA 2288204	AA	19990128	US 1996-614027	A2	19960312
			CA 1998-2288204		19980619
			US 1997-891924	A	19970714
AU 9880749	A1	19990210	WO 1998-US12834	W	19980619
AU 728538	B2	20010111	AU 1998-80749		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
EP 1003489	A1	20000531	EP 1998-929109		19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
JP 2001510152	T2	20010731	JP 2000-502756		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
NO 9906351	A	20000310	NO 1999-6351		19991220
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
FAN 1999:212693					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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PI US 5888494	A	19990330	US 1997-891924		19970714
			US 1996-614027	A2	19960312
US 5667773	A	19970916	US 1996-614027		19960312
CA 2288204	AA	19990128	CA 1998-2288204		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
WO 9903455	A1	19990128	WO 1998-US12834		19980619
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LS, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
			US 1997-891924	A	19970714
AU 9880749	A1	19990210	AU 1998-80749		19980619
AU 728538	B2	20010111			
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
EP 1003489	A1	20000531	EP 1998-929109		19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
JP 2001510152	T2	20010731	JP 2000-502756		19980619
			US 1997-891924	A	19970714
			WO 1998-US12834	W	19980619
NO 9906351	A	20000310	NO 1999-6351		19991220
			US 1997-891924	A	19970714

WO 1998-US12834

W 19980619

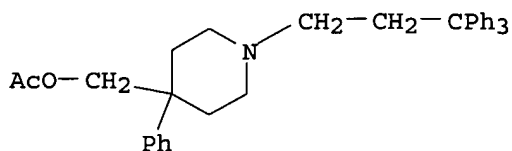
IT 189024-73-5 189024-74-6 189024-80-4
189024-83-7 189024-84-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. containing film-forming antihyperalgesic opiates for treatment of hyperalgesic conditions)

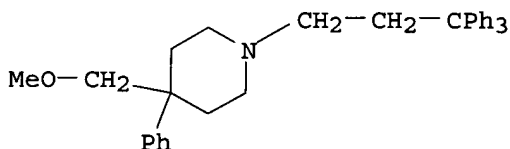
RN 189024-73-5 CAPLUS

CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



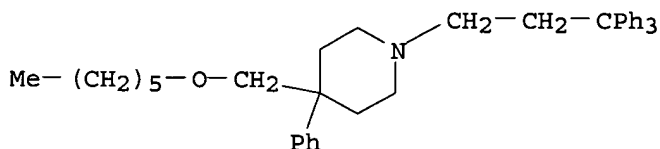
RN 189024-74-6 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



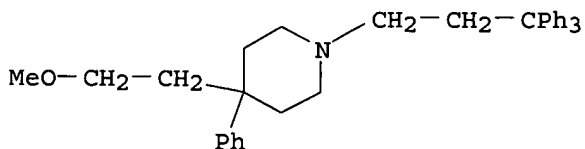
RN 189024-80-4 CAPLUS

CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)



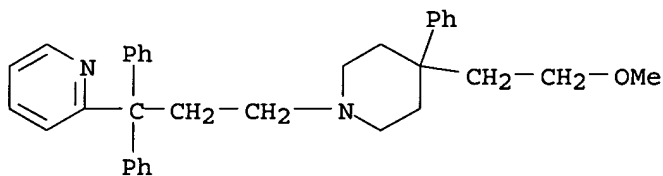
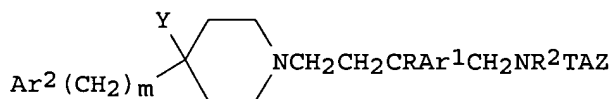
RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI) (CA INDEX NAME)

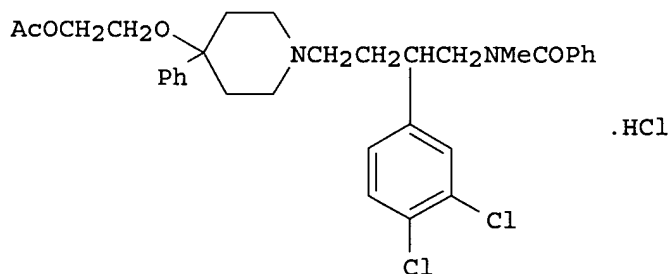


RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidiny]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI

I



.HCl

II

AB Piperidines I [R1 = H, R2 = H, alkyl; R1R2 = (CH2)_nQ; Q = CO, CH2; n = 1-3; m = 0, 1; Y = (un)substituted alkyl, OH, NH2, CONH2, thiazolyl; Ar1 = (un)substituted Ph, thienyl, benzothienyl, naphthyl, indolyl, imidazolyl, pyridyl, biphenyl; Ar2 = (un)substituted Ph, pyridyl, pyrimidyl, thienyl, imidazolyl; T = CH2, CO, (un)substituted CONH, CO2; A = CH2, CH2CH2; Z = (un)substituted aromatic, heteroarom.] were prepared for use in the treatment of neurokinin- and substance P-dependent diseases (no data). Thus, piperidine II was prepared from HOCH2CH2CH(C6H3Cl2-3,4)CH2NH2 by conversion to the N-methylbenzamide, benzenesulfonylation, amination with 4-(2-hydroxyethyl)-4-phenylpiperidine (III), and acetylation. III was obtained from 1-benzyl-4-hydroxy-4-phenylpiperidine by benzylation, reaction with ethylene glycol, and debenylation.

AN 1997:374707 CAPLUS

DN 126:343496

TI Preparation of piperidine derivatives as neurokinin antagonists

IN Chabert, Nathalie; Emonds Alt, Xavier; Proietto, Vincenzo; Ducoux, Jean Philippe; Gueule, Patrick; Van Broeck, Didier

PA Sanofi, Fr.

SO Fr. Demande, 96 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2738245	A1	19970307	FR 1995-10142	19950828 <--
	FR 2738245	B1	19971121		
	GB 2304714	A1	19970326	GB 1996-17893	19960828 <--
	GB 2304714	B2	19990915		
				FR 1995-10142	A 19950828
	BE 1009571	A3	19970506	BE 1996-723	19960828 <--
				FR 1995-10142	A 19950828
	JP 09124600	A2	19970513	JP 1996-227222	19960828 <--
				FR 1995-10142	A 19950828
	US 5830906	A	19981103	US 1996-703952	19960828 <--
				FR 1995-10142	A 19950828
	CH 690437	A	20000915	CH 1996-2120	19960828 <--
				FR 1995-10142	A 19950828
	US 5939411	A	19990817	US 1997-916952	19970825 <--
				FR 1995-10142	A 19950828
				US 1996-703952	A3 19960828
	US 5965580	A	19991012	US 1998-35823	19980306 <--
				FR 1995-10142	A 19950828
				US 1996-703952	A3 19960828

OS MARPAT 126:343496

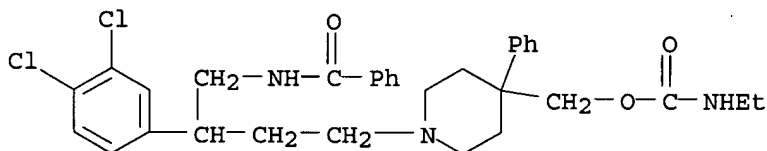
IT 189877-29-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkylpiperidines as neurokinin antagonists)

RN 189877-29-0 CAPLUS

CN Carbamic acid, ethyl-, [1-[4-(benzoylamino)-3-(3,4-dichlorophenyl)butyl]-4-phenyl-4-piperidinyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

AB Compns. and methods using the compns. for treatment of peripheral hyperalgesia are provided. The compns. contain an anti-hyperalgesia effective amount of one or more compds. that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit substantial central nervous system effects. The anti-diarrheal compound loperamide-HCl is preferred for use in the compns. and methods.

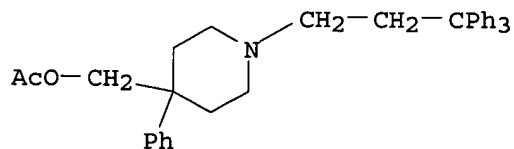
AN 1997:332024 CAPLUS
 DN 126:308827
 TI Peripherally active anti-hyperalgesic opiates
 IN Yaksh, Tony L.; Farrar, John J.; Maycock, Alan L.; Lewis, Michael E.; Dow, Gordon J.
 PA Regents of the University of California, USA; Adolor Corporation
 SO PCT Int. Appl., 317 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9709973	A2	19970320	WO 1996-US14727	19960912 <--
	WO 9709973	A3	19970605		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG			
	US 5849761	A	19981215	US 1995-528510	A 19950912
	CA 2229814	AA	19970320	US 1995-528510	19950912 <--
	CA 2229814	C	20011204	CA 1996-2229814	19960912 <--
	CA 2356097	AA	19970320	US 1995-528510	A 19950912
				CA 1996-2356097	19960912 <--
				US 1995-528510	A 19950912
				CA 1996-2229814	A3 19960912
	AU 9670710	A1	19970401	AU 1996-70710	19960912 <--
	AU 727982	B2	20010104		
				US 1995-528510	A 19950912
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	EP 852494	A2	19980715	EP 1996-931567	19960912 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
				US 1995-528510	A 19950912
				WO 1996-US14727	W 19960912
	BR 9610345	A	19990601	BR 1996-10345	19960912 <--
				US 1995-528510	A 19950912
				WO 1996-US14727	W 19960912
	JP 11512438	T2	19991026	JP 1997-512136	19960912 <--
	JP 3553083	B2	20040811		
				US 1995-528510	A 19950912
				WO 1996-US14727	W 19960912
	JP 2002069004	A2	20020308	JP 2001-224729	19960912
				US 1995-528510	A 19950912
				JP 1997-512136	A3 19960912
	NO 9800700	A	19980512	NO 1998-700	19980219 <--
				US 1995-528510	A 19950912
				WO 1996-US14727	W 19960912

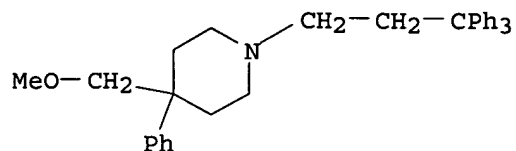
PATENT FAMILY INFORMATION:

FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
1999:761526					
PI	US 5994372	A	19991130	US 1996-712881	19960912
	US 5849761	A	19981215	US 1995-528510	A2 19950912
				US 1995-528510	19950912

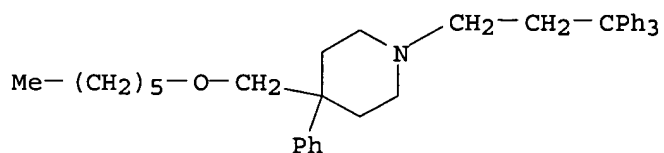
CA 2229814	AA	19970320	CA 1996-2229814	19960912
CA 2229814	C	20011204		
CA 2356097	AA	19970320	US 1995-528510	A 19950912
			CA 1996-2356097	19960912
			US 1995-528510	A 19950912
JP 2002069004	A2	20020308	CA 1996-2229814	A3 19960912
			JP 2001-224729	19960912
			US 1995-528510	A 19950912
US 6573282	B1	20030603	JP 1997-512136	A3 19960912
			US 1999-374634	19990816
			US 1995-528510	A2 19950912
			US 1996-712881	A1 19960912
			US 1998-199873	A2 19981124
FAN 2003:429099				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 6573282	B1	20030603	US 1999-374634	19990816
			US 1995-528510	A2 19950912
			US 1996-712881	A1 19960912
			US 1998-199873	A2 19981124
US 5849761	A	19981215	US 1995-528510	19950912
CA 2356097	AA	19970320	CA 1996-2356097	19960912
			US 1995-528510	A 19950912
			CA 1996-2229814	A3 19960912
US 5994372	A	19991130	US 1996-712881	19960912
			US 1995-528510	A2 19950912
JP 2002069004	A2	20020308	JP 2001-224729	19960912
			US 1995-528510	A 19950912
			JP 1997-512136	A3 19960912
US 6166039	A	20001226	US 1998-199873	19981124
			US 1995-528510	A1 19950912
US 6576650	B1	20030610	US 2000-695745	20001023
			US 1995-528510	A3 19950912
			US 1998-199873	A3 19981124
OS MARPAT 126:308827				
IT 189024-73-5 189024-74-6 189024-80-4				
189024-83-7 189024-84-8				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(peripherally active anti-hyperalgesic opiates)				
RN 189024-73-5 CAPLUS				
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate (ester)				
(9CI) (CA INDEX NAME)				



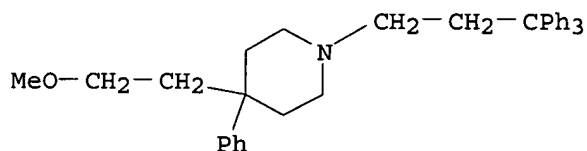
RN 189024-74-6 CAPLUS
 CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
 (CA INDEX NAME)



RN 189024-80-4 CAPLUS

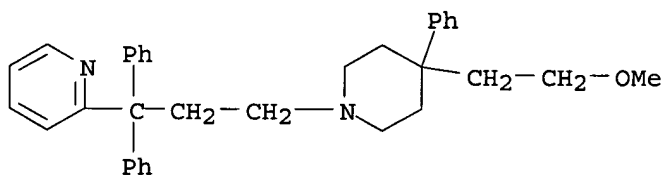
CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

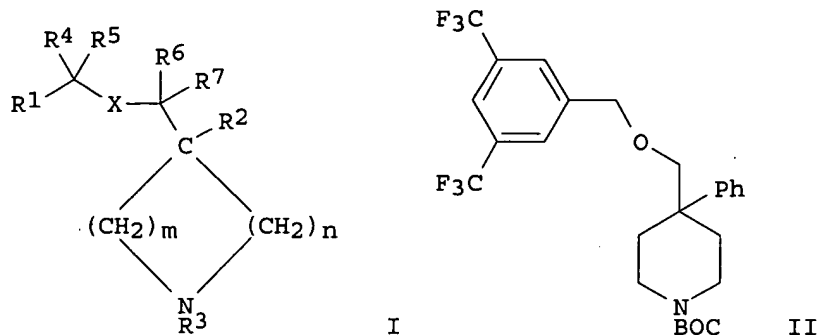
RN 189024-83-7 CAPLUS

CN Piperidine, 4-(2-methoxyethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)- (9CI)
(CA INDEX NAME)

RN 189024-84-8 CAPLUS

CN Pyridine, 2-[3-[4-(2-methoxyethyl)-4-phenyl-1-piperidinyl]-1,1-diphenylpropyl]- (9CI) (CA INDEX NAME)

L20 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Title compds. [I; m = 2-4; n = 0-2 when m = 2 or 3, and n = 0 or 1 when m = 4; X = O, S; R1 = (substituted) Ph; R2 = (substituted) Ph, indazolyl, thienyl, furyl, pyridyl, thiazolyl, tetrazolyl, quinolyl, benzhydryl, benzyl; R3 = H, COR9, CO2R10, COCONR10R11, COCO2R10, SO2R15, CONR10SO2R15, (substituted) alkyl, Ph; R4-R7 = H, alkyl; R9 = H, alkyl, Ph; R10, R11 = H, alkyl; R15 = alkyl, CF3, (substituted) Ph], were prepared Thus, 4-phenyl-4-carboxypiperidine tosylate was reduced with LiAlH4 in THF and the product was treated with di-tert-Bu dicarbonate to give 1-tert-butoxycarbonyl-4-phenyl-4-hydroxymethylpiperidine. The latter was stirred with 3,5-bistrifluoromethylbenzyl bromide and NaH in DMF to give title compound II. I showed IC50 at NKIR of <500 nM.

AN 1995:304898 CAPLUS

DN 122:81123

TI Preparation of 4-(arylmethyloxymethyl)piperidines as tachykinin antagonists.

IN Harrison, Timothy; Macleod, Angus Murray; Stevenson, Graeme Irvine; Williams, Brian John

PA Merck Sharp and Dohme Ltd., UK

SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9410165	A1	19940511	WO 1993-GB2214	19931027 <--
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1992-22633	A 19921028
				GB 1993-8962	A 19930430
				GB 1993-13680	A 19930702
				GB 1993-16112	A 19930804
	CA 2146767	AA	19940511	CA 1993-2146767	19931027 <--
				GB 1992-22633	A 19921028
				GB 1993-8962	A 19930430
				GB 1993-13680	A 19930702
				GB 1993-16112	A 19930804
				WO 1993-GB2214	W 19931027
	AU 9453429	A1	19940524	AU 1994-53429	19931027 <--
	AU 678409	B2	19970529		
				GB 1992-22633	A 19921028
				GB 1993-8962	A 19930430
				GB 1993-13680	A 19930702

			GB 1993-16112	A	19930804
			WO 1993-GB2214	W	19931027
EP 666856	A1	19950816	EP 1993-923630		19931027 <--
EP 666856	B1	20000105			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
			GB 1992-22633	A	19921028
			GB 1993-8962	A	19930430
			GB 1993-13680	A	19930702
			GB 1993-16112	A	19930804
			WO 1993-GB2214	W	19931027
JP 08502510	T2	19960319	JP 1993-510825		19931027 <--
			GB 1992-22633	A	19921028
			GB 1993-8962	A	19930430
			GB 1993-13680	A	19930702
			GB 1993-16112	A	19930804
			WO 1993-GB2214	W	19931027
AT 188472	E	20000115	AT 1993-923630		19931027 <--
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			GB 1993-13680	A	19930702
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			WO 1993-GB2214	W	19931027
ES 2141174	T3	20000316	ES 1993-923630		19931027 <--
			GB 1992-22633	A	19921028
			GB 1993-8962	A	19930430
			GB 1993-13680	A	19930702
			GB 1993-16112	A	19930804
US 5620989	A	19970415	US 1995-416813		19950413 <--
			GB 1992-22633	A	19921028
			GB 1993-8962	A	19930430
			GB 1993-13680	A	19930702
			GB 1993-16112	A	19930804
			WO 1993-GB2214	W	19931027

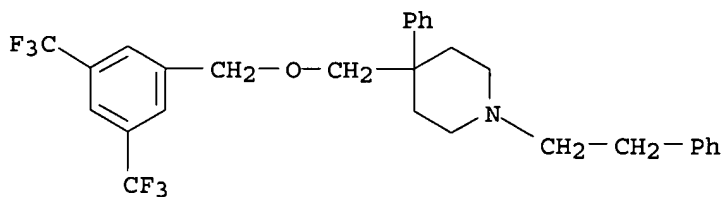
OS MARPAT 122:81123

IT 160376-11-4P 160377-06-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as tachykinin antagonist)

RN 160376-11-4 CAPLUS

CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

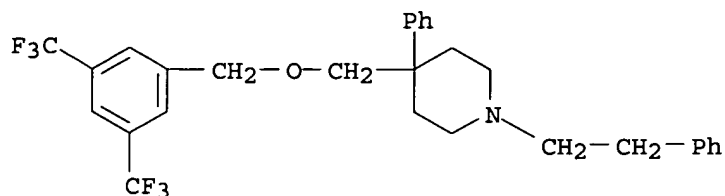


RN 160377-06-0 CAPLUS

CN Piperidine, 4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-(2-phenylethyl)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

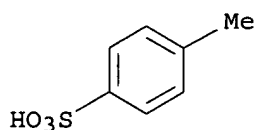
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CRN 160376-11-4
CMF C29 H29 F6 N O

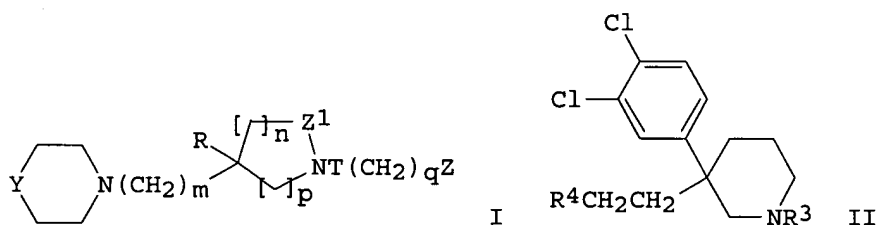


CM 2

CRN 104-15-4
CMF C7 H8 O3 S



L20 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Title compds. [I; R = Ph, (benzo)thienyl, naphthyl, indolyl, etc.; T, Z1 = CO, CH2; Y = NR1, CX(CH2)xR2; R1 = Ph, PhCH2, cycloalkyl(methyl), pyridyl(methyl), etc.; R2 = Ph, pyridyl, thienyl; X = H, OH, alkoxy, acyloxy, CO2H, etc.; Z = Ph, naphthyl, pyridyl, thienyl, etc.; n, q = 0-3; p = 1, 2; x = 0, 1] were prepared. Thus, 3,4-dichlorobenzonitrile was condensed with 2-(2-bromoethoxy)tetrahydropyran and the product condensed with BrCH2CH2CO2Et to give, after cyclization and reduction, piperidine II (R3 = H, R4 = tetrahydropyranyloxy) which was N-acetylated with PhCH2CO2H and the product converted to II (R3 = COCH2Ph) (III; R4 = OSO2Me). The latter was condensed with 4-benzylpiperidine to give III (R4 = 4-benzylpiperidino) which had Ki of 8.3 nM for antagonism of substance P binding in vitro.

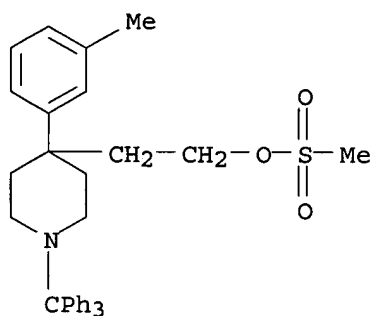
AN 1993:124405 CAPLUS

DN 118:124405
 TI Preparation of 1-aralk(ano)yl-3-aryl-3-(piperidinoalkyl)piperidines and
 analogs as substance P and neurokinin antagonists
 IN Goulaouic, Pierre; Emonds-Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo
 PA Elf Sanofi SA, Fr.
 SO Eur. Pat. Appl., 75 pp.
 CODEN: EPXXDW
 DT Patent
 LA French
 FAN.CNT 1

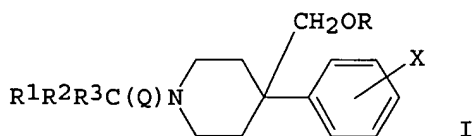
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 512901	A1	19921111	EP 1992-401235	19920430 <--
	EP 512901	B1	19990623		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
	FR 2676055	A1	19921106	FR 1991-5487	A 19910503
	FR 2676055	B1	19930903	FR 1991-5487	19910503 <--
	NO 9201734	A	19921104	NO 1992-1734	19920430 <--
	NO 178573	B	19960115		
	NO 178573	C	19960424		
				FR 1991-5487	A 19910503
	ZA 9203178	A	19930127	ZA 1992-3178	19920430 <--
				FR 1991-5487	A 19910503
	HU 61539	A2	19930128	HU 1992-1458	19920430 <--
				FR 1991-5487	A 19910503
	RU 2083574	C1	19970710	RU 1992-5011707	19920430 <--
				FR 1991-5487	A 19910503
	FI 101299	B1	19980529	FI 1992-1951	19920430 <--
				FR 1991-5487	A 19910503
	AT 181550	E	19990715	AT 1992-401235	19920430 <--
				FR 1991-5487	A 19910503
	CZ 285409	B6	19990811	CZ 1992-1329	19920430 <--
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	AU 652046	B2	19940811		
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	IL 101760	A1	19970218	IL 1992-101760	19920501 <--
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	IL 117921	A1	19970218	IL 1992-117921	19920501 <--
				FR 1991-5487	A 19910503
				IL 1992-101760	A3 19920501
	BR 9201656	A	19921215	BR 1992-1656	19920504 <--
				FR 1991-5487	A 19910503
	US 5340822	A	19940823	US 1992-878710	19920504 <--
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	JP 05186425	A2	19930727	JP 1992-113820	19920506 <--
	JP 3242980	B2	20011225		
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				FR 1991-5487	A 19910503
				US 1992-878710	A3 19920504
	FI 9501242	A	19950316	FI 1995-1242	19950316 <--
	FI 101298	B1	19980529		

			FR 1991-5487	A	19910503
			FI 1992-1951	A	19920430
FI 9501243	A	19950316	FI 1995-1243		19950316 <--
FI 114635	B1	20041130			
			FR 1991-5487	A	19910503
			FI 1992-1951	A	19920430
US 5625060	A	19970429	US 1995-463270		19950605 <--
			FR 1991-5487	A	19910503
			US 1992-878710	A3	19920504
			US 1994-261269	A3	19940615
HK 1005138	A1	20000512	HK 1998-104344		19980519 <--
			FR 1991-5487	A	19910503

OS MARPAT 118:124405
IT 146395-92-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neurokinin and substance P antagonists)
RN 146395-92-8 CAPLUS
CN 4-Piperidineethanol, 4-(3-methylphenyl)-1-(triphenylmethyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



L20 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. I [R = H, C1-4 alkyl, C2-5 alkanoyl; R1, R2, R3 = Ph, C1-4 alkylphenyl, halophenyl, pyridyl, thienyl, X = H, halo, C1-4 alkyl, Q = CH2CH2, CHMeCH2, (CH2)3], useful in treatment of diarrhea, were prepared by reduction of the corresponding piperidinecarboxylic acids with a variety of hydrides. Thus, Ph3CCH2COCl, prepared from Ph3COH and CH2(CO2H)2, treated with 4-phenyl-4-piperidinecarboxylic acid in C6H6 containing Et3N followed by reduction with LiAlH4 2.5 h in refluxing ether gave I (R = X = H, R1 = R2 = R3 = Ph, Q = CH2CH2) as its hydrochloride.

AN 1981:30573 CAPLUS
 DN 94:30573
 TI 1-(3,3,3,-Triarylpropyl)-4-phenyl-4-piperidinemethanols
 IN Adelstein, Gilbert W.; Dajani, Esam Z.; Yen, Chung H.
 PA G. D. Searle & Co. of Canada Ltd., Can.
 SO Can., 38 pp.
 CODEN: CAXXA4
 DT Patent
 LA English
 FAN.CNT 1

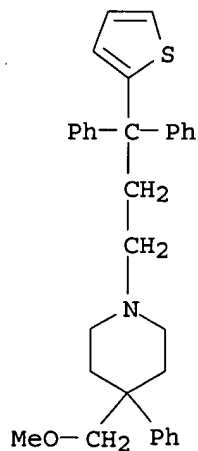
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 1079734	A1	19800617	CA 1976-250373 CA 1976-250373	19760415 <-- A5 19760415A A

IT **61532-48-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and diarrhea treatment by)
 RN 61532-48-7 CAPLUS
 CN Piperidine, 1-[3,3-diphenyl-3-(2-thienyl)propyl]-4-(methoxymethyl)-4-phenyl-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 61532-47-6

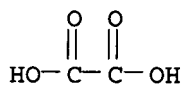
CMF C32 H35 N O S



CM 2

CRN 144-62-7

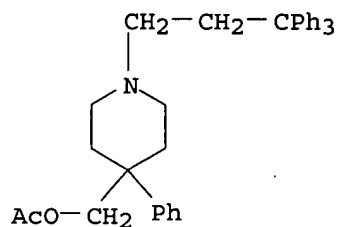
CMF C2 H2 O4



IT 61532-44-3P 61532-45-4P

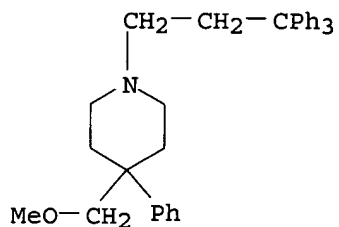
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61532-44-3 CAPLUS

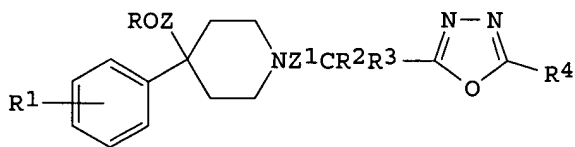
CN 4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate
(ester), hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 61532-45-4 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)-,
hydrochloride (9CI) (CA INDEX NAME)

● HCl

L20 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI

I

AB (Piperidinoalkyl)oxadiazoles I (R = H, alkyl, alkanoyl; R1 = H, halo,
alkyl, CF3; Z = C1-4 alkylene; Z1 = linear or branched C2-4 alkylene; R2

and R3 are independently Ph, alkylphenyl, halophenyl, pyridyl; R4 = H, alkyl), which showed anti-diarrhea activity, were prepared from N-(ω-cyanoalkyl)piperidines. 4-(4-Hydroxymethyl-4-phenyl-1-piperidinyl)-2,2-diphenylbutyronitrile reacted with NaN₃ and the tetrazole derivative obtained was heated with Ac₂O in pyridine to yield I (R = Ac, R1 = H, Z = CH₂, Z1 = CH₂CH₂, R2 = R3 = Ph, R4 = Me).

AN 1980:446688 CAPLUS

DN 93:46688

TI 2-[[4-(Hydroxymethyl)-1-piperidinyl]alkyl]-1,3,4-oxadiazoles

IN Adelstein, Gilbert W.

PA G.D. Searle and Co., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

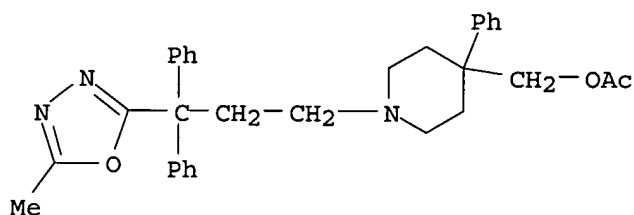
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4194045	A	19800318	US 1977-864989 US 1977-864989	19771227 <-- A 19771227

IT 74173-97-0P

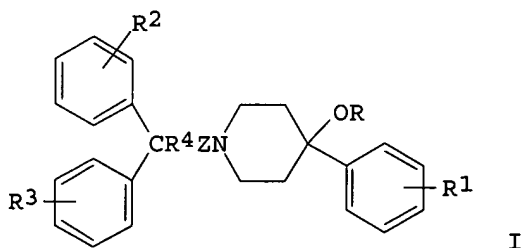
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

RN 74173-97-0 CAPLUS

CN 4-Piperidinemethanol, 1-[3-(5-methyl-1,3,4-oxadiazol-2-yl)-3,3-diphenylpropyl]-4-phenyl-, acetate (ester) (9CI) (CA INDEX NAME)



L20 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. [I; Z = C2-4 alkylene; R = H, alkyl, acyl; R1-R3 = H,

10722114

alkyl, Cl; R4 = (substituted) Ph, pyridyl, thienyl] and their salts were prepared and tested as analgesics and antidiarrheal agents. Thus, Ph3CCH2COCl reacted with 4-phenylpiperidinecarboxylic acid, and the product was reduced with LiAlH4 to give I (R-R3 = H, R4 = Ph, Z = CH2CH2).

AN 1980:408031 CAPLUS

DN 93:8031

TI 1-(Triarylalkyl)-4-phenyl-4-piperidinomethanol derivatives

PA G.D. Searle and Co., USA

SO Pol., 6 pp.

CODEN: POXXA7

DT Patent

LA Polish

FAN.CNT 1

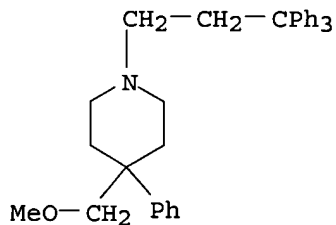
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	PL 105435	P	19791031	PL 1976-188845	19760416 <--
				PL 1976-188845	A 19760416

IT 61532-45-4P 61532-47-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61532-45-4 CAPLUS

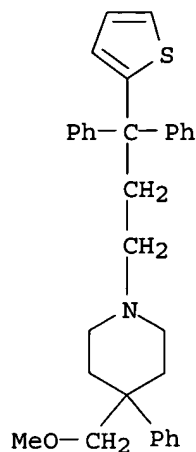
CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)-,
hydrochloride (9CI) (CA INDEX NAME)



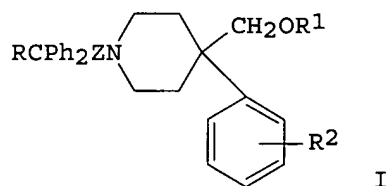
● HCl

RN 61532-47-6 CAPLUS

CN Piperidine, 1-[3,3-diphenyl-3-(2-thienyl)propyl]-4-(methoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)



L20 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB The title compds. (I) (R = Ph, pyridyl; R1 = C5-10 alkyl; R2 = H, halogen, Me; Z = C2-4 alkylene) and their salts were prepared. Thus, Ph3COH was heated with H2C(CO2H)2 to give Ph3CCH2CO2H, which was converted into the acid chloride. Et 4-phenyl-4-piperidinecarboxylate was treated with Ph3CCH2COCl and LiAlH4, followed by treatment with Me(CH2)5Br and NaOH to give I (R = Ph, R1 = hexyl, R2 = H, Z = CH2CH2). I had antidiarrheal action, as shown by animal tests.

AN 1978:424166 CAPLUS

DN 89:24166

TI 1-(3,3,3-Triarylpropyl)-4-phenyl-4-piperidinemethanol ether

IN Adelstein, Gilbert William; Dajani, Esam Zafer; Yen, Chung Hwai

PA G.D. Searle and Co., USA

SO Ger. Offen., 14 pp.

CODEN: GWXXBX

DT Patent

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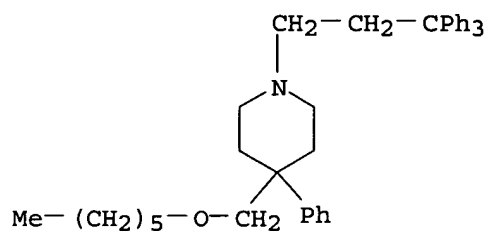
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IT 66893-44-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
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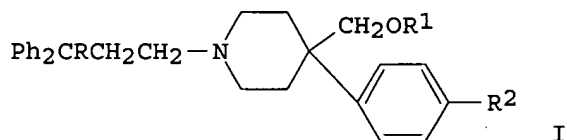
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CN Piperidine, 4-[(hexyloxy)methyl]-4-phenyl-1-(3,3,3-triphenylpropyl)-,
hydrochloride (9CI) (CA INDEX NAME)



● HCl

L20 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
GI



AB Piperidinemethanol derivs. (I; R = Ph, 2-pyridinyl, 2-thienyl; R1 = H, Ac, Me; R2 = H, Cl), useful as diarrhea inhibitors, are prepared by standard methods. Thus, reaction of Ph_3COH with $\text{CH}_2(\text{CO}_2\text{H})_2$ gives $\text{Ph}_3\text{CCH}_2\text{CO}_2\text{H}$ which is converted to $\text{Ph}_3\text{CCH}_2\text{COCl}$ (II). Reaction of II with Et 4-phenyl-4-piperidinecarboxylate gives Et 4-phenyl-1-(3,3,3-triphenylpropionyl)-4-piperidinecarboxylate which on reduction with LiAlH_4 gives I (R = Ph, R1 = R2 = H).

AN 1977:43574 CAPLUS

DN 86:43574

TI 1-(Triarylalkyl)-4-phenylpiperidine derivatives

IN Adelstein, Gilbert W.; Dajani, Esam Z.; Yen, Chung Hwai

PA G.D. Searle and Co., USA

SO Ger. Offen., 28 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 3

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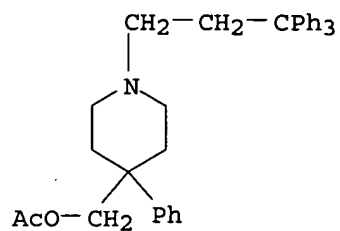
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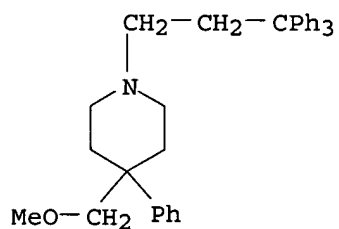
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	US 4072686	A	19780207	US 1976-733502		19761018
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	JP 53050180	A2	19780508	JP 1977-125031		19771018
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	FR 2367746	A2	19780512	FR 1977-31343		19771018
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IT	61532-44-3P 61532-45-4P 61532-48-7P					
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	(preparation and diarrhea-inhibiting activity of)					
RN	61532-44-3 CAPLUS					
CN	4-Piperidinemethanol, 4-phenyl-1-(3,3,3-triphenylpropyl)-, acetate					
	(ester), hydrochloride (9CI) (CA INDEX NAME)					



● HCl

RN 61532-45-4 CAPLUS

CN Piperidine, 4-(methoxymethyl)-4-phenyl-1-(3,3,3-triphenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

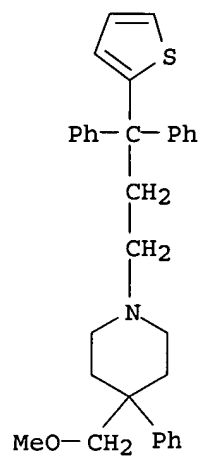
RN 61532-48-7 CAPLUS

CN Piperidine, 1-[3,3-diphenyl-3-(2-thienyl)propyl]-4-(methoxymethyl)-4-phenyl-, ethanedioate (9CI) (CA INDEX NAME)

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CRN 61532-47-6

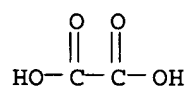
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CM 2

CRN 144-62-7

CMF C2 H2 O4



L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

AB The prepn, is described of 1-(3-substituted-phenethyl) derivs, of 4-phenylisonipecotates and their acid addition compds., which are analgesics, m-Aminophenethyl chloride-HCl (I) (9.2 g.), 10.3 g. Et 4-phenylisonipecotate carbonate (II), 14 g. NaHCO₃, and 125 cc. anhydrous EtOH stirred and refluxed 40 hrs., the mixture concentrated in vacuo to dryness,

150 cc. H₂O added and the solution extracted with Et₂O, the extract dried and concd,

in vacuo to give the crude free base, the base dissolved in hot anhydrous Et₂O and 20% alc. HCl added, and the resulting oil washed with Et₂O and dried gives 17 g. crude Et 1-(m-aminophenethyl)-4-phenylisonipecotate-2HCl (III). III is purified by treating with Me₂CO, triturating with EtOAc, and dissolving in 9:1 iso-PrOH-EtOAc, from which solvent crystallization proceeds

slowly; the yield is 0.5 g., m. 269-71°. Concentration of the filtrate in vacuo yields 0.05 g. III. I, m. 175-8°, is obtained in 9.75-g.

yield by treating a solution of 121 g. SnCl₂·2H₂O and 300 cc. concentrated HCl with

25 g. m-nitrophenethyl chloride in small portions on the steam bath over 45 min., heating 60 min. further with stirring, cooling, adding 850 cc. cold 30% NaOH, extracting with ether, washing the exts. with H₂O, drying and filtering the exts., adding excess 20% alc. HCl, and washing the crystals obtained at 0° with Et₂O. Et 1-(m-aminophenethyl)-4-phenylisonipecotate from 1 g. III heated 1 hr. on a steam bath with 2 cc. each of glacial HOAc and Ac₂O, the mixture, after standing overnight at room temperature, diluted with 25 cc. H₂O and neutralized with excess NaHCO₃ ppts.

Et

1-(m-acetamidophenethyl)-4-phenylisonipecotate (IV). IV is converted to the HCl salt by treatment with HCl in anhydrous EtOH. Et

1-(m-methoxyphenethyl)-4-phenylisonipecotate (V) is prepared from 22.5 g. m-methoxyphenethyl bromide (VI), 26 g. II, 21.5 g. NaHCO₃, and 300 cc. anhydrous EtOH similarly to the preparation of the base of III. V·HCl, m. 153-5°, is obtained by passing HCl gas through a solution of V in Et₂O and recrystg. from Me₂CO. m-Methoxyphenylacetic acid (50 g.) and 45 g. SOCl₂ heated 2.5 hrs. on a steam bath, 100 cc. C₆H₆ added, the mixture distilled in vacuo and the C₆H₆ treatment and distillation repeated yields 58

g.

m-methoxyphenylacetyl chloride (VII) as an oil. VII (58 g.) and 80 cc. dioxane is added at 10 and 15° over 25 min. to 76 g. NaBH₄ and 800 cc. dioxane under N, the mixture stirred 2.5 hrs. at room temperature, 250 cc. concentrated HCl and 500 cc. ice H₂O added at 10 to 20° over 75 min., 250 cc. 30% NaOH and then 500 cc. H₂O added, the mixture extracted with CHCl₃, and the extract dried and concentrated in vacuo to dryness to give 86% m-methoxyphenethyl alcohol (VIII). VIII (38 g.) added gradually to 30 g. PBr₃, the mixture heated 2 hrs. on the steam bath, ice H₂O added, the product extracted with Et₂O, and the extract washed with NaHCO₃ solution and

then

with H₂O and evaporated to dryness in vacuo gives 66% VI, an oil. The following are prepared similarly to the preparation of V·HCl: Et 1-(m-hydroxyphenethyl)-4-phenylisonipecotate-HCl, m. 174-6°, from m-phosphatophenethyl bromide (IX); Et 1-(3-chlorophenylethyl)-4-phenylisonipecotate-HCl, from m-chlorophenethyl chloride; Et 1-(m-nitrophenethyl)-4-phenylisonipecotate-HCl, from m-nitrophenethyl chloride (X). IX is prepared from m-methoxyphenethyl alc. and PBr₃ as in the preparation of VI, except that the combined NaHCO₃ and H₂O washes are acidified to pH 3, extracted with Et₂O, and the Et₂O extract dried and concentrated to

dryness. X is prepared in 25 g. yield by adding over 10 min. 10.7 g. NaNO_2 in 15 cc. H_2O dropwise below 10° to a mixture of 28 g. 4-amino-3-nitrophenethyl chloride, 65 g. EtOH , and 33 g. concentrated H_2SO_4 , stirring the mixture at 8 to 10° until gas evolution ceases, warming cautiously to 70° under reflux until the vigorous reaction at this temperature is over, pouring the mixture into 300 cc. ice H_2O and 25 g. Na_2CO_3 , acidifying and extracting with C_6H_6 , and drying the extract and concentrating

in vacuo to

dryness.

AN 1960:39146 CAPLUS

DN 54:39146

OREF 54:7740f-i, 7741a-d

TI 4-Phenylisonipecotates

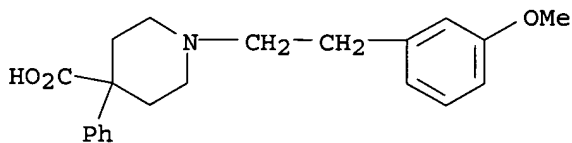
PA Merck & Co., Inc.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 817357		19590729	GB	
IT	855291-81-5, Isonipecotic acid, 1-(m-methoxyphenethyl)-4-phenyl-, hydrochloride				
	(preparation of)				
RN	855291-81-5 CAPLUS				
CN	Isonipecotic acid, 1-(m-methoxyphenethyl)-4-phenyl-, hydrochloride (6CI)				
	(CA INDEX NAME)				



● HCl